

US6114358

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Shiao 5/2/03

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NEWS 1 Web Page URLs for STN Seminar Schedule - N. America  
NEWS 2 Apr 08 "Ask CAS" for self-help around the clock  
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NEWS 4 Aug 08 PHARMAMarketLetter(PHARMAML) - new on STN  
NEWS 5 Aug 19 Aquatic Toxicity Information Retrieval (AQUIRE)  
now available on STN  
NEWS 6 Aug 26 Sequence searching in REGISTRY enhanced  
NEWS 7 Sep 03 JAPIO has been reloaded and enhanced  
NEWS 8 Sep 16 Experimental properties added to the REGISTRY file  
NEWS 9 Sep 16 CA Section Thesaurus available in CAPLUS and CA  
NEWS 10 Oct 01 CASREACT Enriched with Reactions from 1907 to 1985  
NEWS 11 Oct 24 BEILSTEIN adds new search fields  
NEWS 12 Oct 24 Nutraceuticals International (NUTRACEUT) now available on STN  
NEWS 13 Nov 18 DKILIT has been renamed APOLLIT  
NEWS 14 Nov 25 More calculated properties added to REGISTRY  
NEWS 15 Dec 04 CSA files on STN  
NEWS 16 Dec 17 PCTFULL now covers WP/PCT Applications from 1978 to date  
NEWS 17 Dec 17 TOXCENTER enhanced with additional content  
NEWS 18 Dec 17 Adis Clinical Trials Insight now available on STN  
NEWS 19 Jan 29 Simultaneous left and right truncation added to COMPENDEX,  
ENERGY, INSPEC  
NEWS 20 Feb 13 CANCERLIT is no longer being updated  
NEWS 21 Feb 24 METADEX enhancements  
NEWS 22 Feb 24 PCTGEN now available on STN  
NEWS 23 Feb 24 TEMA now available on STN  
NEWS 24 Feb 26 NTIS now allows simultaneous left and right truncation  
NEWS 25 Feb 26 PCTFULL now contains images  
NEWS 26 Mar 04 SDI PACKAGE for monthly delivery of multifile SDI results  
NEWS 27 Mar 19 APOLLIT offering free connect time in April 2003  
NEWS 28 Mar 20 EVENTLINE will be removed from STN  
NEWS 29 Mar 24 PATDPAFULL now available on STN  
NEWS 30 Mar 24 Additional information for trade-named substances without  
structures available in REGISTRY  
NEWS 31 Apr 11 Display formats in DGENE enhanced  
NEWS 32 Apr 14 MEDLINE Reload  
NEWS 33 Apr 17 Polymer searching in REGISTRY enhanced  
NEWS 34 Apr 21 Indexing from 1947 to 1956 being added to records in CA/CAPLUS  
NEWS 35 Apr 21 New current-awareness alert (SDI) frequency in  
WPIDS/WPINDEX/WPIX  
NEWS 36 Apr 28 RDISCLOSURE now available on STN  
NEWS 37 May 05 Pharmacokinetic information and systematic chemical names  
added to PHAR

NEWS EXPRESS April 4 CURRENT WINDOWS VERSION IS V6.01a, CURRENT  
MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP),  
AND CURRENT DISCOVER FILE IS DATED 01 APRIL 2003

US6114358

|            |   |
|------------|---|
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FILE 'HOME' ENTERED AT 11:57:50 ON 12 MAY 2003

|                      |            |         |
|----------------------|------------|---------|
| => file registry     |            |         |
| COST IN U.S. DOLLARS | SINCE FILE | TOTAL   |
|                      | ENTRY      | SESSION |
| FULL ESTIMATED COST  | 0.21       | 0.21    |

FILE 'REGISTRY' ENTERED AT 11:58:02 ON 12 MAY 2003  
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STRUCTURE FILE UPDATES: 11 MAY 2003 HIGHEST RN 514167-89-6  
DICTIONARY FILE UPDATES: 11 MAY 2003 HIGHEST RN 514167-89-6

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2003

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

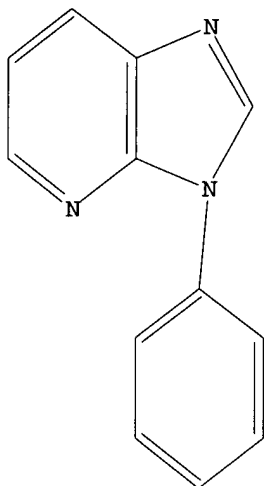
Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:  
<http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf>

=>  
Uploading stru1.str

L1 STRUCTURE UPLOADED

=> D  
L1 HAS NO ANSWERS  
L1 STR

US6114358



Structure attributes must be viewed using STN Express query preparation.

=> file CAPLUS  
COST IN U.S. DOLLARS

|                     | SINCE FILE<br>ENTRY | TOTAL<br>SESSION |
|---------------------|---------------------|------------------|
| FULL ESTIMATED COST | 0.40                | 0.61             |

FILE 'CAPLUS' ENTERED AT 11:58:26 ON 12 MAY 2003  
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FILE COVERS 1907 - 12 May 2003 VOL 138 ISS 20  
FILE LAST UPDATED: 11 May 2003 (20030511/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> S L1

**REGISTRY INITIATED**

Substance data SEARCH and crossover from CAS REGISTRY in progress...  
Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

SAMPLE SEARCH INITIATED 11:58:30 FILE 'REGISTRY'

US6114358

SAMPLE SCREEN SEARCH COMPLETED - 297 TO ITERATE

100.0% PROCESSED 297 ITERATIONS  
SEARCH TIME: 00.00.01

34 ANSWERS

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 4907 TO 6973  
PROJECTED ANSWERS: 331 TO 1029

L2 34 SEA SSS SAM L1

L3 30 L2

=> D ibib abs hitstr L3 1-30

L3 ANSWER 1 OF 30 CAPLUS COPYRIGHT 2003 ACS  
ACCESSION NUMBER: 2002:977466 CAPLUS  
DOCUMENT NUMBER: 138:204987  
TITLE: Efficient Synthesis of 3H-Imidazo[4,5-b]pyridines from  
Malononitrile and 5-Amino-4-  
(cyanoformimidoyl)imidazoles  
AUTHOR(S): Zaki, Magdi E. A.; Proenca, M. Fernanda; Booth, Brian  
L.  
CORPORATE SOURCE: Departamento de Quimica, Universidade do Minho, Braga,  
4710, Port.  
SOURCE: Journal of Organic Chemistry (2003), 68(2), 276-282  
CODEN: JOCEAH; ISSN: 0022-3263  
PUBLISHER: American Chemical Society  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB 1-Aryl-5-amino-4-(cyanoformimidoyl)imidazoles 2 were reacted with malononitrile under mild exptl. conditions and led to 3-aryl-5,7-diamino-6-cyano-3H-imidazo[4,5-b]pyridines I (R = 4-MeC6H4, 4-FC6H4, 4-MeC6H4, 4-CNC6H4), when the reaction was carried out in the presence of DBU, or to 3-aryl-5-amino-6,7-dicyano-3H-imidazo[4,5-b]pyridines II, in its absence. Both reactions evolved from the adduct formed by nucleophilic attack of the malononitrile anion to the carbon of the cyanoformimidoyl substituent. A 5-amino-1-aryl-4-(1-amino-2,2-dicyanovinyl)imidazole III (R = 4-MeC6H4, 4-FC6H4, 4-MeC6H4) was isolated when this reaction was carried out in the presence of DBU. The structure of III was confirmed by spectroscopic methods and by reaction with tri-Et orthoformate and with acetic anhydride, leading resp. to 9-aryl-6-(cyanomethylidene)purines. Imidazole IV was also reacted with Et acetoacetate, a carbon acid with a pKa comparable to that of malononitrile, which led to 6-carbamoyl-1,2-dihydropurine V, showing that a different mechanism was operating in this case.

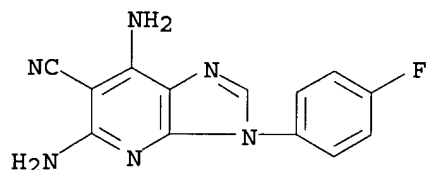
IT 499983-06-1P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of imidazopyridines via cyclocondensation reactions of malononitrile with amino(cyanoformimidoyl)imidazoles generated from corresponding formamidines)

RN 499983-06-1 CAPLUS

US6114358

CN 3H-Imidazo[4,5-b]pyridine-6-carbonitrile, 5,7-diamino-3-(4-fluorophenyl)-  
(9CI) (CA INDEX NAME)



REFERENCE COUNT: 42 THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 2 OF 30 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:901127 CAPLUS

DOCUMENT NUMBER: 137:391157

TITLE: Organic electroluminescent devices with good color,  
brightness, and durability

INVENTOR(S): Igarashi, Tatsuya

PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 29 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.             | KIND | DATE     | APPLICATION NO. | DATE     |
|------------------------|------|----------|-----------------|----------|
| JP 2002338957          | A2   | 20021127 | JP 2001-143414  | 20010514 |
| PRIORITY APPLN. INFO.: |      |          | JP 2001-143414  | 20010514 |

OTHER SOURCE(S): MARPAT 137:391157

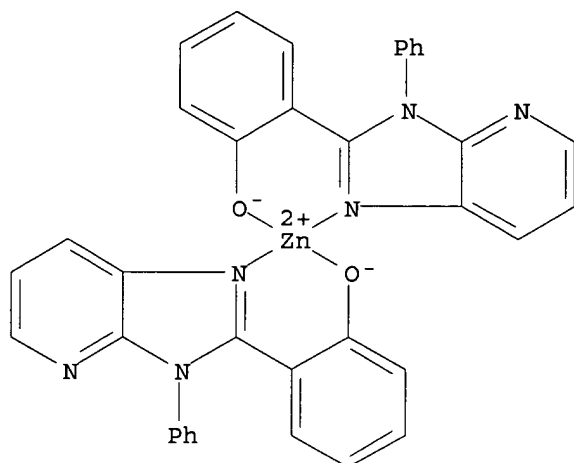
AB The device, useful for displays, backlights, etc., has light-emitting  
layers contg. (A) Ar32Ar31Ar(Ar11Ar12)Ar21Ar22 (Ar11, Ar21, Ar31 =  
arylene; Ar12, Ar22, Ar32 = H, substituent; Ar11, Ar21, Ar31, Ar12, Ar22,  
Ar32 = condensed ring aryl, condensed ring heteroaryl; Ar = arylene,  
heteroarylene) and (B) metal complexes.

IT 303049-17-4

RL: DEV (Device component use); USES (Uses)  
(org. EL devices with good color, brightness, and durability)

RN 303049-17-4 CAPLUS

CN Zinc, bis[2-(3-phenyl-3H-imidazo[4,5-b]pyridin-2-yl-.kappa.N1)phenolato-  
.kappa.O]-, (T-4)- (9CI) (CA INDEX NAME)



L3 ANSWER 3 OF 30 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:361401 CAPLUS

DOCUMENT NUMBER: 137:232591

TITLE: Polymer-assisted parallel solution phase synthesis of substituted benzimidazoles

AUTHOR(S): Yun, Young K.; Porco, John A., Jr.; Labadie, Jeff

CORPORATE SOURCE: Argonaut Technologies, Foster City, CA, 94404, USA

SOURCE: Synlett (2002), (5), 739-742

CODEN: SYNLES; ISSN: 0936-5214

PUBLISHER: Georg Thieme Verlag

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 137:232591

AB A small library of benzimidazoles was prepd. using polymer-bound reagents and scavengers. Polymer-assisted reaction of phenylenediamines with carboxylic acids yielded o-amidophenylamines in the presence of polystyrene-carbodiimide (PS-carbodiimide) using 1-hydroxy-7-azabenzotriazole (HOAt) as additive. Excess HOAt was scavenged post-reaction using polystyrene-trisamine (PS-trisamine) resin. Treatment of o-amidophenylamines with AcOH facilitated acid-catalyzed cyclodehydration to afford benzimidazoles in good yields and excellent purities.

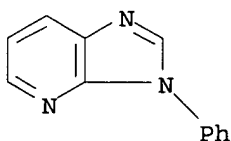
IT 61532-33-0P

RL: CPN (Combinatorial preparation); CMBI (Combinatorial study); PREP (Preparation)

(polymer-assisted parallel soln. phase synthesis of substituted benzimidazoles)

RN 61532-33-0 CAPLUS

CN 3H-Imidazo[4,5-b]pyridine, 3-phenyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 47 THERE ARE 47 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

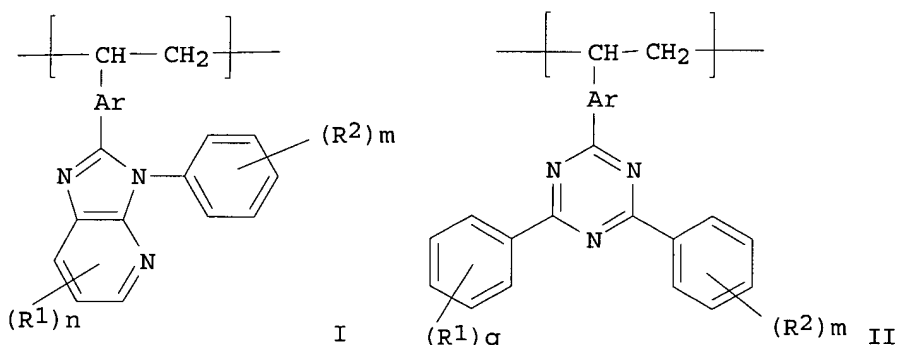
L3 ANSWER 4 OF 30 CAPLUS COPYRIGHT 2003 ACS

US6114358

ACCESSION NUMBER: 2002:354001 CAPLUS  
DOCUMENT NUMBER: 136:377202  
TITLE: Light-emitting device and material therefor  
INVENTOR(S): Okada, Hisashi; Ise, Toshihiro; Mishima, Masayuki;  
Taguchi, Toshiki  
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan  
SOURCE: U.S. Pat. Appl. Publ., 91 pp.  
CODEN: USXXCO  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

| PATENT NO.             | KIND | DATE     | APPLICATION NO. | DATE       |
|------------------------|------|----------|-----------------|------------|
| US 2002055014          | A1   | 20020509 | US 2001-935711  | 20010824   |
| JP 2002319491          | A2   | 20021031 | JP 2001-236419  | 20010803   |
| PRIORITY APPLN. INFO.: |      |          | JP 2000-254171  | A 20000824 |
|                        |      |          | JP 2001-38718   | A 20010215 |
|                        |      |          | JP 2001-236419  | A 20010803 |

OTHER SOURCE(S): MARPAT 136:377202  
GI



AB Light-emitting devices comprising a pair of electrodes formed on a substrate and org. compd. layers comprising a light-emitting layer provided in between the electrodes are described in which .gtoreq.1 of the org. compd. layers comprises a heterocyclic compd. having .gtoreq.2 atoms and a phosphorescent compd.; polymers with repeating units described by the general formulas I and II (Ar = arylene or divalent heterocyclic group; R1 and R2 = independently selected H or substituent; n = 0-3; q = 0-5; and m = 0-5), which may be employed as the heterocyclic compds. in the devices, are also described. The devices may also employ polymers of heterocyclic compds. from which AR is absent. The phosphorescent compd. may be an org. metal complex.

IT 350025-78-4

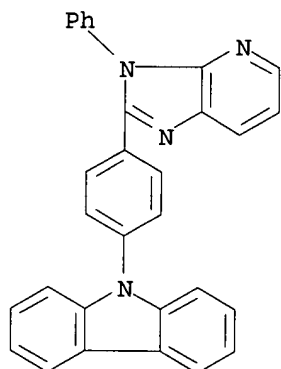
RL: DEV (Device component use); USES (Uses)

(light-emitting devices with emitting layers including heterocyclic compds. and phosphorescent materials and heterocycle deriv. polymers for them)

RN 350025-78-4 CAPLUS

CN 9H-Carbazole, 9-[4-(3-phenyl-3H-imidazo[4,5-b]pyridin-2-yl)phenyl]- (9CI)  
(CA INDEX NAME)

US6114358



L3 ANSWER 5 OF 30 CAPLUS COPYRIGHT 2003 ACS  
ACCESSION NUMBER: 2002:314939 CAPLUS  
DOCUMENT NUMBER: 136:340677  
TITLE: Preparation of imidazoarenes as antiinflammatory and analgesic agents.  
INVENTOR(S): Nakao, Kazunari; Okumura, Yoshiyuki; Matsumizu, Miyako; Uneo, Naomi; Hashizume, Yoshinobu; Kato, Tomoki; Kawai, Akiyoshi; Miyake, Yoriko; Nukui, Seiji; Shinjyo, Katsuhiro; Taniguchi, Kana  
PATENT ASSIGNEE(S): Pfizer Pharmaceuticals Inc., Japan; Pfizer Inc.  
SOURCE: PCT Int. Appl., 461 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 2  
PATENT INFORMATION:

| PATENT NO.    | KIND | DATE     | APPLICATION NO. | DATE     |
|---------------|------|----------|-----------------|----------|
| WO 2002032900 | A2   | 20020425 | WO 2001-IB1940  | 20011015 |
| WO 2002032900 | A3   | 20020808 |                 |          |

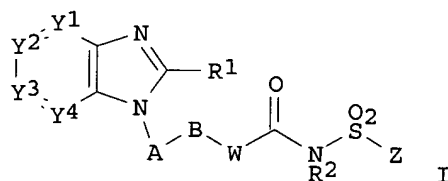
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM  
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

|               |    |          |                |          |
|---------------|----|----------|----------------|----------|
| US 2002077329 | A1 | 20020620 | US 2001-977761 | 20011015 |
| US 2002107273 | A1 | 20020808 | US 2001-977621 | 20011015 |

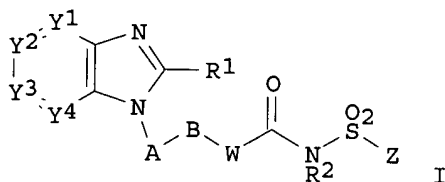
PRIORITY APPLN. INFO.: US 2000-241825P P 20001019

OTHER SOURCE(S): MARPAT 136:340677

GI







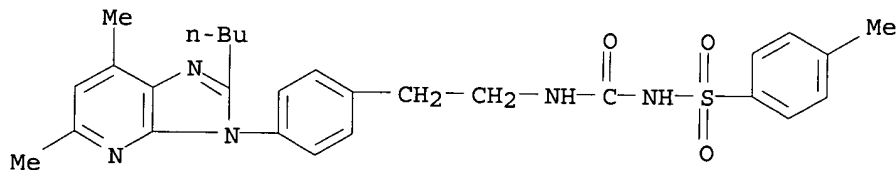
AB Title compds. [I; Y1-Y4 = N, CH, CL; R1 = H, (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, alkoxy, pyrrolidinyl, amino, etc.; A = (substituted) 5-6 membered monocyclic arom. ring optionally contg. up to 3 heteroatoms selected from O, N, S, etc.; B = halo-substituted alkylene, cycloalkylene, alkenylene, alkynylene, alkyleneoxy, etc., optionally substituted with an oxo group; W = amino, O, S, bond, etc.; R2 = H, OH, alkyl, alkoxy; Z = 5-12 membered (substituted) monocyclic or bicyclic aryl optionally contg. up to 3 heteroatoms selected from O, N and S, etc.; L = halo, alkyl, haloalkyl, OH, alkoxy, haloalkoxy, alkylthio, NO2, amino, etc.], were prepd. as prostaglandin E2 receptor antagonists, preferably as EP4 receptor antagonists. Thus, to 2-[4-(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl]ethylamine (prepn. given) in CH2Cl2 was added p-toluenesulfonyl isocyanate followed by stirring for 3 h to give 56% 2-ethyl-5,7-dimethyl-3-[4-[2-[[[(4-methylphenyl)sulfonyl]amino]carbonyl]amino]ethyl]phenyl]-3H-imidazo[4,5-b]pyridine. Preferred I inhibited PGE2-induced thermal hyperalgesia in rats with ED50 < 60 mg/kg.

IT **415903-06-9P**

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(prepn. of imidazoarene prostaglandin EP4 receptor antagonists as antiinflammatory and analgesic agents)

RN 415903-06-9 CAPLUS

CN Benzenesulfonamide, N-[[[2-[4-(2-butyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl]ethyl]amino]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)



IT **415903-17-2P 415904-49-3P 415904-55-1P**  
**415904-92-6P 415905-80-5P 415906-11-5P**  
**416844-69-4P**

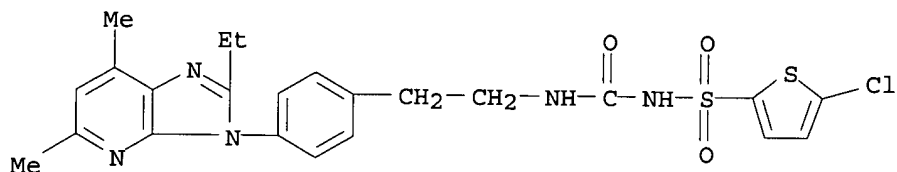
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of imidazoarene prostaglandin EP4 receptor antagonists as antiinflammatory and analgesic agents)

RN 415903-17-2 CAPLUS

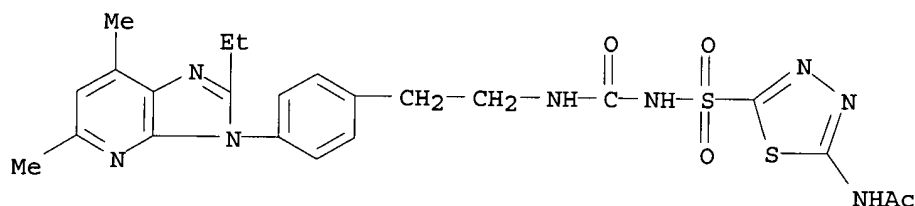
CN 2-Thiophenesulfonamide, 5-chloro-N-[[[2-[4-(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl]ethyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

US6114358



RN 415904-49-3 CAPLUS

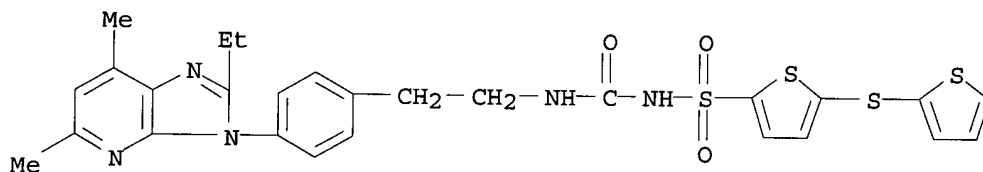
CN Acetamide, N-[5-[[[2-[4-(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl]ethyl]amino]carbonyl]amino]sulfonyl]-1,3,4-thiadiazol-2-yl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 415904-55-1 CAPLUS

CN 2-Thiophenesulfonamide, N-[[[2-[4-(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl]ethyl]amino]carbonyl]-5-(2-thienylthio)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 415904-92-6 CAPLUS

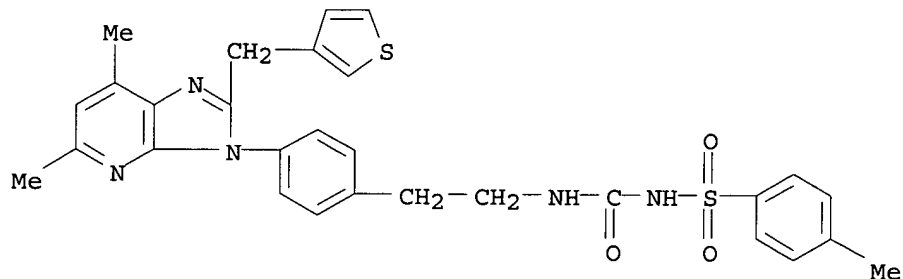
CN Formic acid, compd. with N-[[[2-[4-[5,7-dimethyl-2-(3-thienylmethyl)-3H-imidazo[4,5-b]pyridin-3-yl]phenyl]ethyl]amino]carbonyl]-4-methylbenzenesulfonamide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 415904-91-5

CMF C29 H29 N5 O3 S2

US6114358



CM 2

CRN 64-18-6

CMF C H2 O2

O=CH-OH

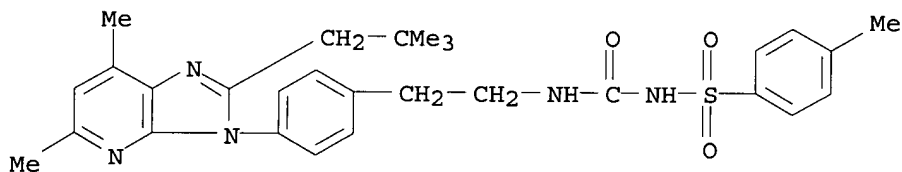
RN 415905-80-5 CAPLUS

CN Formic acid, compd. with N-[[[2-[4-[2-(2,2-dimethylpropyl)-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl]phenyl]ethyl]amino]carbonyl]-4-methylbenzenesulfonamide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 415903-10-5

CMF C29 H35 N5 O3 S



CM 2

CRN 64-18-6

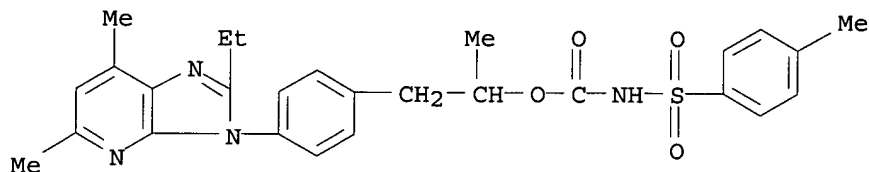
CMF C H2 O2

O=CH-OH

RN 415906-11-5 CAPLUS

CN Carbamic acid, [(4-methylphenyl)sulfonyl]-, 2-[4-(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl]-1-methylethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

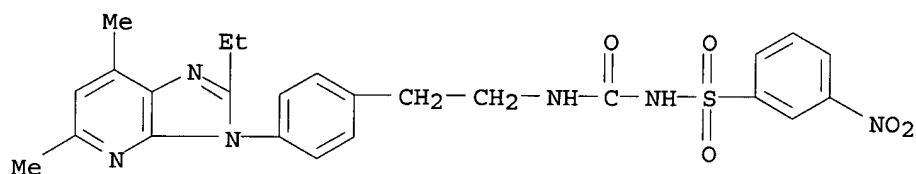
US6114358



● HCl

RN 416844-69-4 CAPLUS

CN Benzenesulfonamide, N-[[[2-[4-(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl]ethyl]amino]carbonyl]-3-nitro- (9CI) (CA INDEX NAME)



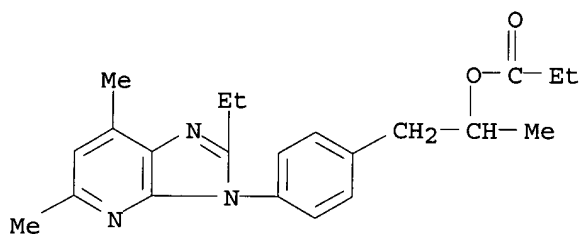
IT 415907-67-4P 415907-86-7P 415908-00-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of imidazoarene prostaglandin EP4 receptor antagonists as antiinflammatory and analgesic agents)

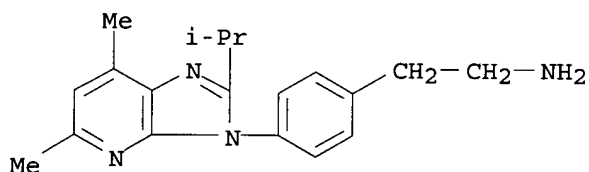
RN 415907-67-4 CAPLUS

CN Benzeneethanol, 4-(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)-.alpha.-methyl-, propanoate (ester) (9CI) (CA INDEX NAME)



RN 415907-86-7 CAPLUS

CN Benzeneethanamine, 4-[5,7-dimethyl-2-(1-methylethyl)-3H-imidazo[4,5-b]pyridin-3-yl]- (9CI) (CA INDEX NAME)

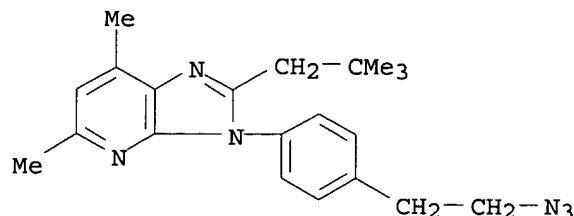


RN 415908-00-8 CAPLUS

CN 3H-Imidazo[4,5-b]pyridine, 3-[4-(2-azidoethyl)phenyl]-2-(2,2-

US6114358

dimethylpropyl)-5,7-dimethyl- (9CI) (CA INDEX NAME)



L3 ANSWER 6 OF 30 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:314767 CAPLUS

DOCUMENT NUMBER: 136:340676

TITLE: Preparation of benzimidazole derivatives as prostaglandin EP4 receptor inhibitors to treat rheumatoid arthritis

INVENTOR(S): Audoly, Laurent; Okumura, Takako; Shimojo, Masato

PATENT ASSIGNEE(S): Pfizer Pharmaceuticals Inc., Japan; Pfizer Inc.

SOURCE: PCT Int. Appl., 468 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

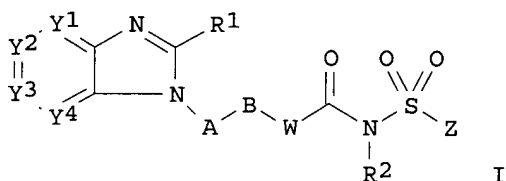
FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

| PATENT NO.             | KIND   | DATE     | APPLICATION NO. | DATE       |
|------------------------|--|----------|-----------------|------------|
| WO 2002032422          | A2   | 20020425 | WO 2001-IB1942  | 20011015   |
| W:                     | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM |          |                 |            |
| RW:                    | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG   |          |                 |            |
| US 2002077329          | A1   | 20020620 | US 2001-977761  | 20011015   |
| US 2002107273          | A1   | 20020808 | US 2001-977621  | 20011015   |
| PRIORITY APPLN. INFO.: |  |          | US 2000-241825P | P 20001019 |

OTHER SOURCE(S): MARPAT 136:340676

GI



AB Benzimidazole derivs. I wherein Y1-Y4 are independently N, CH, alkyl, alkoxy, haloalkyl, halo, substituted alkyl, R1 is H, alkyl, alkenyl, alkynyl, cycloalkyl, alkoxy, haloalkoxy, heterocycle; R2 is H, alkyl, alkoxy, OH; A is substituted heterocycle arom ring; B is haloalkylene,

cycloalkylene, alkenylene, alkynylene, oxyalkylene; W is NH, aminoalkyl, O, S, oxime, covalent bond; Z is monocyclic and bicyclic arom. heterocycle, were prepd. as prostaglandin EP4 receptor inhibitors to treat rheumatoid arthritis of rats and human. Also featured is a method of identifying agents that selectively inhibit EP4 activity in vivo. Thus, 3-(4-{2[[{[(3,4-dichlorophenyl)sulfonyl]amino}carbonyl]amino]ethyl}phenyl)-2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridine, hydrochloride was prepd. and tested in vivo as an agent selectively inhibiting EP4 activity or selectively binding EP4; and measuring joint inflammation, joint swelling, joint ankylosis, interleukin (IL)-6, SAA protein, and/or joint mobility.

IT 415903-17-2P 415904-49-3P 415904-55-1P

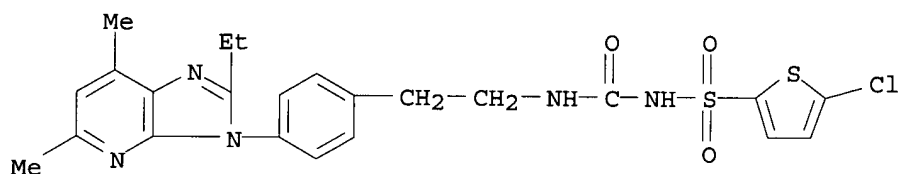
415904-92-6P 415905-80-5P 415906-11-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of benzimidazole derivs. as prostaglandin ep receptor inhibitors to treat rheumatoid arthritis)

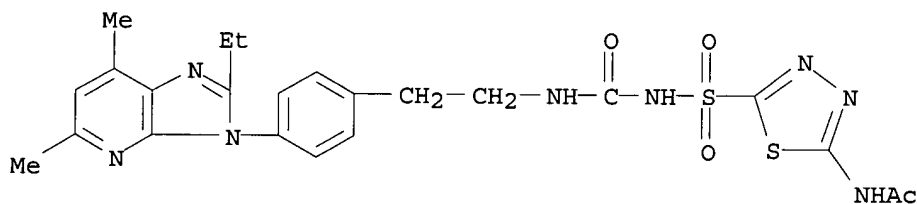
RN 415903-17-2 CAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[[[2-[4-(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl]ethyl]amino]carbonyl]- (9CI) (CA INDEX NAME)



RN 415904-49-3 CAPLUS

CN Acetamide, N-[5-[[[[[2-[4-(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl]ethyl]amino]carbonyl]amino]sulfonyl]-1,3,4-thiadiazol-2-yl]-, monohydrochloride (9CI) (CA INDEX NAME)

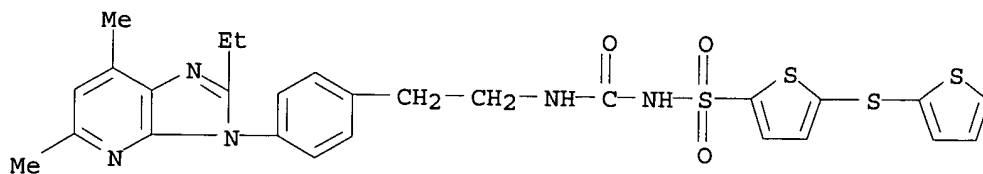


● HCl

RN 415904-55-1 CAPLUS

CN 2-Thiophenesulfonamide, N-[[[2-[4-(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl]ethyl]amino]carbonyl]-5-(2-thienylthio)-, monohydrochloride (9CI) (CA INDEX NAME)

US6114358



● HCl

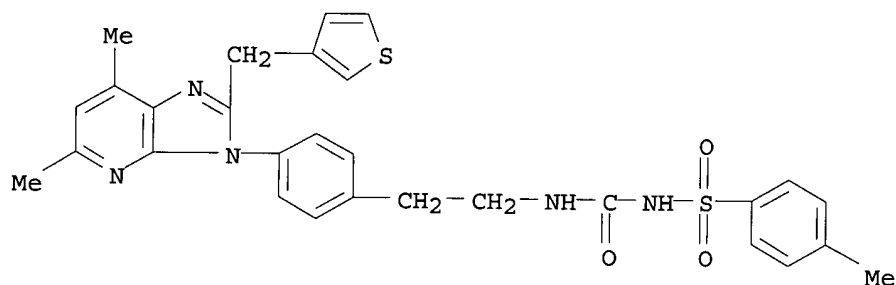
RN 415904-92-6 CAPLUS

CN Formic acid, compd. with N-[[[2-[4-[5,7-dimethyl-2-(3-thienylmethyl)-3H-imidazo[4,5-b]pyridin-3-yl]phenyl]ethyl]amino]carbonyl]-4-methylbenzenesulfonamide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 415904-91-5

CMF C29 H29 N5 O3 S2



CM 2

CRN 64-18-6

CMF C H2 O2

O=CH-OH

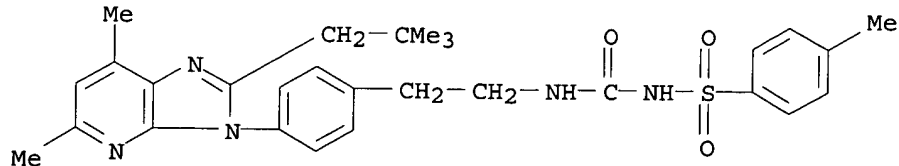
RN 415905-80-5 CAPLUS

CN Formic acid, compd. with N-[[[2-[4-[2-(2,2-dimethylpropyl)-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl]phenyl]ethyl]amino]carbonyl]-4-methylbenzenesulfonamide (1:1) (9CI) (CA INDEX NAME)

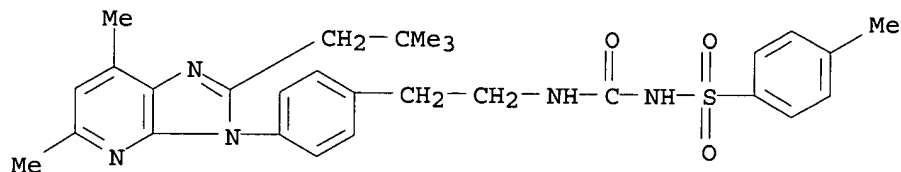
CM 1

CRN 415903-10-5

CMF C29 H35 N5 O3 S



US6114358



CM 2

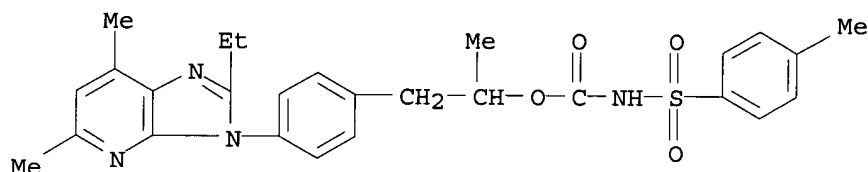
CRN 64-18-6

CMF C H2 O2

O=CH-OH

RN 415906-11-5 CAPLUS

CN Carbamic acid, [(4-methylphenyl)sulfonyl]-, 2-[4-(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl]-1-methylethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

IT 415903-06-9P 415907-67-4P 415907-86-7P

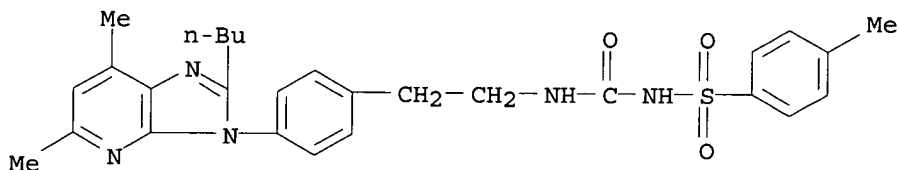
415908-00-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of benzimidazole derivs. as prostaglandin ep receptor inhibitors to treat rheumatoid arthritis)

RN 415903-06-9 CAPLUS

CN Benzenesulfonamide, N-[[[2-[4-(2-butyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl]ethyl]amino]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)

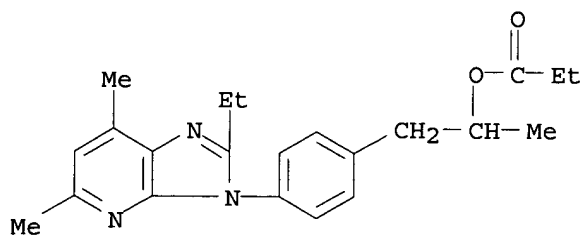


RN 415907-67-4 CAPLUS

CN Benzeneethanol, 4-(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)-.alpha.-methyl-, propanoate (ester) (9CI) (CA INDEX NAME)

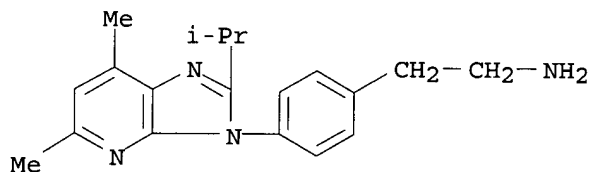


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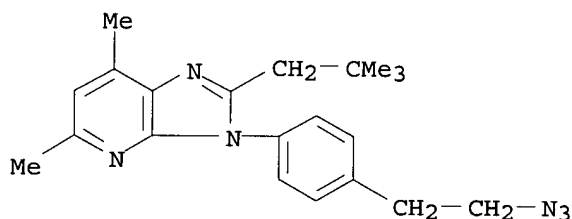
RN 415907-86-7 CAPLUS

CN Benzeneethanamine, 4-[5,7-dimethyl-2-(1-methylethyl)-3H-imidazo[4,5-b]pyridin-3-yl]- (9CI) (CA INDEX NAME)



RN 415908-00-8 CAPLUS

CN 3H-Imidazo[4,5-b]pyridine, 3-[4-(2-azidoethyl)phenyl]-2-(2,2-dimethylpropyl)-5,7-dimethyl- (9CI) (CA INDEX NAME)



L3 ANSWER 7 OF 30 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:72050 CAPLUS

DOCUMENT NUMBER: 136:118449

TITLE: Preparation of heterocyclic beta-3 adrenergic receptor agonists

INVENTOR(S): Ashwell, Mark Anthony; Solvibile, William Ronald

PATENT ASSIGNEE(S): American Home Products Corporation, USA

SOURCE: PCT Int. Appl., 64 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.   | KIND | DATE     | APPLICATION NO. | DATE     |
|--|------|----------|-----------------|----------|
| WO 2002006235  | A1   | 20020124 | WO 2001-US22366 | 20010716 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, |      |          |                 |          |

VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM  
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,  
 DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,  
 BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

US 2002022638 A1 20020221 US 2001-904115 20010712  
 US 6537994 B2 20030325

## PRIORITY APPLN. INFO.:

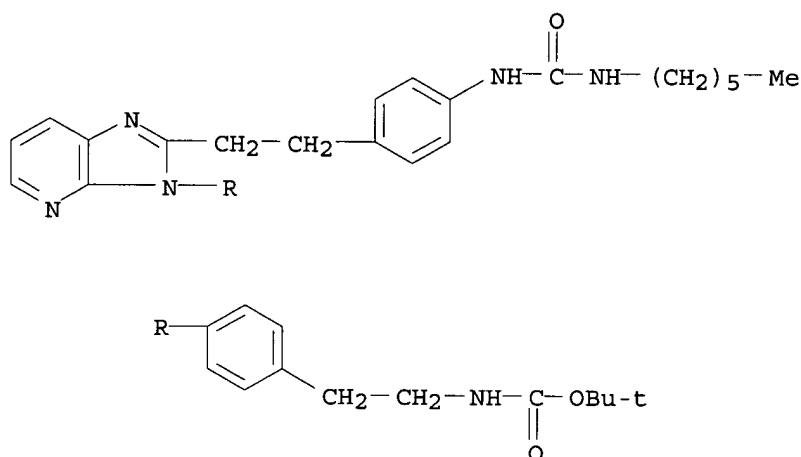
US 2000-218700P P 20000717

AB This invention provides A-U-CH(OH)CH<sub>2</sub>NHCH<sub>2</sub>CH<sub>2</sub>VC<sub>6</sub>H<sub>4</sub>W-p (1) or a pharmaceutically acceptable salt thereof, which are useful in treating or inhibiting metabolic disorders related to insulin resistance or hyperglycemia (typically assocd. with obesity or glucose intolerance), atherosclerosis, gastrointestinal disorders, neurogenic inflammation, glaucoma, ocular hypertension and frequent urination; and are particularly useful in the treatment or inhibition of type II diabetes. .beta.3-Adrenergic receptor EC<sub>50</sub> and maximal response (IA; % activity compd./% activity isoproterenol) values are reported for 16 example compds., e.g. 0.057 .mu.M and 1.12 for 3-[4-[2-[(2S)-2-hydroxy-3-(4-hydroxyphenoxy)propylamino]ethyl]phenyl]-1-isopropenyl-1,3-dihydroimidazo[4,5-b]pyridin-2-one. In 1, A is (a) a 5-6 membered heterocyclic ring having 1-4 heteroatoms selected from O, N, and S, substituted with (R1)m; (b) a Ph ring substituted with (R1)m; (c) a naphthyl ring substituted with (R1)m; or (d) a Ph fused heterocycle selected from (R1)m-substituted 1,3-dihydro-2-oxo-2H-benzimidazol-4-yl, 1,3-benzodioxol-5-yl, 1,2,3,4-tetrahydro-2-oxoquinolin-5-yl, 1,2,3,4-tetrahydro-1-naphthylideneamino. U is -OCH<sub>2</sub>- or a bond; V is O or a bond; W is an amino or amido group wherein the N is substituted by an optionally substituted pyridyl or pyrazinyl ring or the N is incorporated into an imidazole ring fused with a pyridine or pyrazine ring. R1 is alkyl of 1-8 C atoms, aryl of 6-10 C atoms, -OR7, cycloalkyl of 3-8 C atoms, halogen, cyano, trifluoromethyl, CO<sub>2</sub>R7, NHCOR7, NHSO<sub>2</sub>R7, -NR7CONR8R9, -NR7R8, alkenyl of 2-7 C atoms, S(O)vR7, NO<sub>2</sub>, -O(CH<sub>2</sub>)uCO<sub>2</sub>R7, -OCOR7R8, -O(CH<sub>2</sub>)sOR7, or a 5-6 membered heterocyclic ring contg. 1 to 4 heteroatoms selected from O, S, and N. R2, R4, R7, R8, and R9 are each, independently, H, alkyl of 1-8 C atoms, aryl of 6-10 C atoms, cycloalkyl of 3-8 C atoms, or arylalkyl having 1-8 C atoms in the alkyl moiety; R3 is H, nitro, halogen, or -NR10R11. R5 is H; alkyl of 1-8 C atoms; alkenyl of 2-7 C atoms; arylalkyl having 1-8 C atoms in the alkyl moiety; alkyl of 1-8 C atoms, substituted with 1-4 substituents selected from -OR7 and halogen; -(CH<sub>2</sub>)qCR12R13(CH<sub>2</sub>)rR7; aryl of 6-10 C atoms, optionally mono, di, or trisubstituted with a substituent selected from halogen, cyano, nitro, trifluoromethyl, alkyl of 1-8 carbons optionally substituted with 1-4 substituents selected from OR7 or halogen, cycloalkyl of 3-8 C atoms, aryl of 6-10 C atoms, -NHCONR7R8, and -CO<sub>2</sub>R7; or a 5-6 membered heterocyclic ring contg. 1 to 4 heteroatoms selected from O, S, and N, which is optionally mono- or disubstituted with halogen, alkyl of 1-8 C atoms, or arylalkyl having 1-8 C atoms in the alkyl moiety. R6 is H, alkyl of 1-8 C atoms, alkenyl of 2-7 C atoms, or arylalkyl having 1-8 C atoms in the alkyl moiety; R10 and R11 are each, independently, H, alkyl of 1-8 C atoms, arylalkyl having 1-8 C atoms in the alkyl moiety, -COR7, or -CONR7R8; R12 and R13 are each, independently, H, alkyl of 1-8 C atoms, or aryl of 6-10 C atoms which is optionally substituted with alkyl of 1-8 C atoms or halogen; or R12 and R13 are taken together to form a spiro fused cycloalkyl ring of 3-8 C atoms. M = 0-2; q = 0-5; r = 0-5; s = 1-4; u = 1-4; v = 0-2. Methods of prepn. are claimed, comprising (a) reacting A-U-substituted oxirane or a protected form thereof in which a reactive substituent group is protected, with H<sub>2</sub>NCH<sub>2</sub>CH<sub>2</sub>VC<sub>6</sub>H<sub>4</sub>W-p or a protected form thereof in which a reactive substituent group is protected; and if required removing any protecting group to give 1. (b) reacting ACH(OPr)CH<sub>2</sub>I, wherein Pr is a protecting group, with H<sub>2</sub>NCH<sub>2</sub>CH<sub>2</sub>VC<sub>6</sub>H<sub>4</sub>W-p or a protected form thereof in which a reactive substituent group is protected; and if required removing any protecting group to give 1 wherein U represents a bond. (c) removing any protecting group from 1 in which at

US6114358

least one substituent carries a protecting group to give 1; or (d) converting a basic compd. 1 to a salt thereof by reaction with a pharmaceutically acceptable acid or (e) converting 1 having one or more reactive substituent groups to a different 1; or (f) isolating an isomer of 1 from a mixt. thereof.

IT 391674-60-5P, tert-Butyl 4-[2-[4-[[[(hexylamino)carbonyl]amino]phenethyl]-3H-imidazo[4,5-b]pyridin-3-yl]phenethyl]carbamate  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(intermediate; prepn. of heterocyclic amino alc. beta-3 adrenergic receptor agonists)  
RN 391674-60-5 CAPLUS  
CN Carbamic acid, [2-[4-[2-[2-[4-[[[(hexylamino)carbonyl]amino]phenyl]ethyl]-3H-imidazo[4,5-b]pyridin-3-yl]phenyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 8 OF 30 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2001:517740 CAPLUS

DOCUMENT NUMBER: 135:114270

TITLE: Novel condensed hetero ring compound and electroluminescent material

INVENTOR(S): Ise, Toshihiro; Okada, Hisashi

PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 36 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

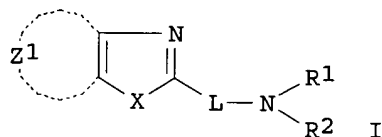
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.             | KIND | DATE     | APPLICATION NO. | DATE       |
|------------------------|------|----------|-----------------|------------|
| JP 2001192653          | A2   | 20010717 | JP 2000-89632   | 20000328   |
| PRIORITY APPLN. INFO.: |      |          | JP 1999-305733  | A 19991027 |

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AB The invention refers to a novel condensed hetero ring compd. I [R1,2 = H, aliph. hydrocarbon, aryl or hetero ring; Z1 = atoms need to construct a heterocyclic; L = bridging functional group; X = O, S, Se, Trace element or N-R; R = H, aliph. hydrocarbon, aryl or heterocyclic].

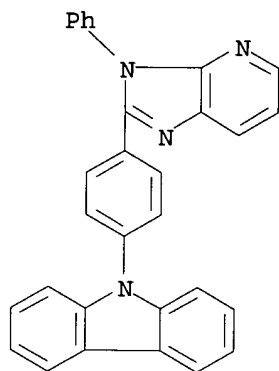
IT 350025-78-4P

RL: DEV (Device component use); SPN (Synthetic preparation); PREP (Preparation); USES (Uses)

(novel condensed hetero ring compd. and electroluminescent material)

RN 350025-78-4 CAPLUS

CN 9H-Carbazole, 9-[4-(3-phenyl-3H-imidazo[4,5-b]pyridin-2-yl)phenyl] - (9CI)  
(CA INDEX NAME)



L3 ANSWER 9 OF 30 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2000:766799 CAPLUS

DOCUMENT NUMBER: 133:327749

TITLE: Organic electroluminescent materials having azole ring, azole compound complexes, and electroluminescent devices

INVENTOR(S): Igarashi, Tatsuya; Okada, Hisashi

PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 27 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.    | KIND | DATE     | APPLICATION NO. | DATE     |
|---------------|------|----------|-----------------|----------|
| JP 2000302754 | A2   | 20001031 | JP 1999-340788  | 19991130 |
| US 6358634    | B1   | 20020319 | US 2000-504122  | 20000215 |

PRIORITY APPLN. INFO.: JP 1999-36107 A 19990215  
JP 1999-340788 A 19991130

OTHER SOURCE(S): MARPAT 133:327749

GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The electroluminescent materials comprise compds. having partial structure I [R11, R12 = H, substituent; R11 and R12 do not form a ring; X1 = O, S, (un)substituted N, CR13R14; R13, R14 = H, substituent; Y1 = O, S, (un)substituted N; M1 = metal ion, H; Z1 = at. group to form a 5-6-membered ring] or II (Q1 = at. group to form a heterocycle; X2, Y2, M2, and Z2 = any group given for X1, Y1, M1, and Z1, resp.). Also claimed are azole compd. complexes III (R21, R22 = H, alkyl, aryl, heteroaryl; X3 and Y3 = any group given for X1 and Y1, resp.; M3 = metal ion; q1 .gtoreq. 1; L1 = ligand; m1 .gtoreq. 0; Z3 has no definition) and IV (Q2, X4, and Y4 = any group given for Q1, X1, and Y1, resp.; M4 = metal ion; q2 .gtoreq. 1; L2 = ligand; m2 .gtoreq. 0) and org. electroluminescent devices having which has .gtoreq.1 layer contg. .gtoreq.1 selected from I, II, III, and IV. A mixt. of salicylic acid, AcOEt, and DMF was treated with (COCl)<sub>2</sub> at room temp. for 30 min and then treated with benzoin and Et<sub>3</sub>N at room temp. for 3 h to give 2-HOC<sub>6</sub>H<sub>4</sub>CO<sub>2</sub>CHPhCOBz. This was treated with ACONH<sub>4</sub> in AcOH under reflux and the resulting triphenyloxazole deriv. was further treated with Zn(OAc)<sub>2</sub> to give III (R<sub>21</sub> = R<sub>22</sub> = Ph, X<sub>3</sub> = Y<sub>3</sub> = O, M<sub>3</sub> = Zn, q<sub>1</sub> = 2, m<sub>1</sub> = 0, Z<sub>3</sub> = at. group to give a condensed benzene ring). An electroluminescent device having a luminescent layer contg. the complex showed blue emission.

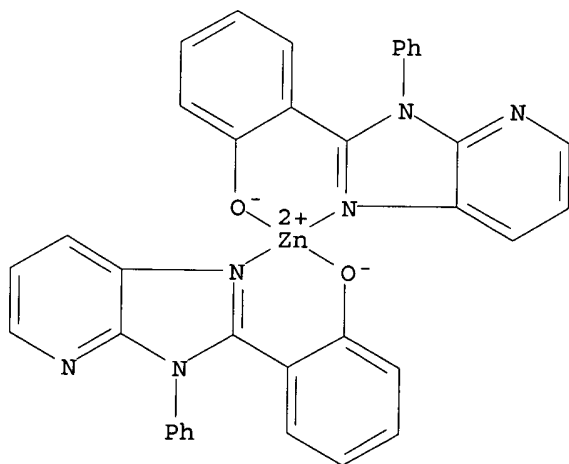
IT 303049-17-4P

RL: DEV (Device component use); SPN (Synthetic preparation); PREP (Preparation); USES (Uses)

(prepn. of azole compds. and their metal complexes for electroluminescent devices)

RN 303049-17-4 CAPLUS

CN Zinc, bis[2-(3-phenyl-3H-imidazo[4,5-b]pyridin-2-yl-.kappa.N1)phenolato-.kappa.O]-, (T-4)- (9CI) (CA INDEX NAME)



L3 ANSWER 10 OF 30 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2000:471599 CAPLUS

DOCUMENT NUMBER: 133:252364

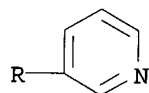
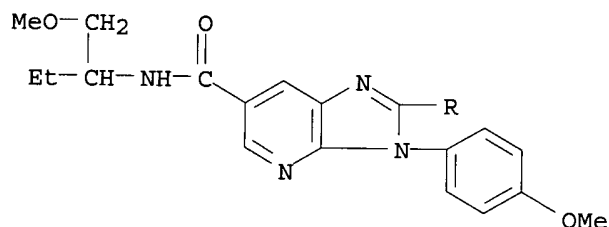
TITLE: A solid-phase synthetic route to substituted 7-azabenzimidazoles suitable for combinatorial library synthesis

AUTHOR(S): Farrant, E.; Rahman, S. S.

CORPORATE SOURCE: Combinatorial and Chemical Technologies, SmithKline

US6114358

SOURCE: Beecham Pharmaceuticals, Essex, CM19 5AW, UK  
Tetrahedron Letters (2000), 41(28), 5383-5386  
CODEN: TELEAY; ISSN: 0040-4039  
PUBLISHER: Elsevier Science Ltd.  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
OTHER SOURCE(S): CASREACT 133:252364  
AB A novel route for the solid-phase synthesis of 1,2,5-substituted  
7-azabenzimidazoles was developed. Primary amines are attached to an  
aldehyde resin and then coupled to 6-chloro-5-nitronicotinyl chloride.  
Subsequent alkylation with amines, redn. of the nitro group, and  
cyclocondensation with aldehydes gives 1,2,5-substituted  
7-azabenzimidazoles.  
IT **294844-94-3P**  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(solid-phase synthesis of azabenzimidazole combinatorial library)  
RN 294844-94-3 CAPLUS  
CN 3H-Imidazo[4,5-b]pyridine-6-carboxamide, N-[1-(methoxymethyl)propyl]-3-(4-  
methoxyphenyl)-2-(3-pyridinyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 11 OF 30 CAPLUS COPYRIGHT 2003 ACS  
ACCESSION NUMBER: 1999:723021 CAPLUS  
DOCUMENT NUMBER: 131:337022  
TITLE: Preparation of condensed imidazole derivative as  
therapeutic agents for liver disease  
INVENTOR(S): Nagasawa, Masaaki; Nishioka, Hiroyasu; Suzuki,  
Takanori; Segawa, Yoshihide; Tsuzuike, Naoki  
PATENT ASSIGNEE(S): Nippon Chemiphar Co., Ltd., Japan; Zeria  
Pharmaceutical Co., Ltd.  
SOURCE: PCT Int. Appl., 126 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: Japanese  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

| PATENT NO.   | KIND | DATE     | APPLICATION NO. | DATE     |
|--|------|----------|-----------------|----------|
| WO 9957103   | A1   | 19991111 | WO 1999-JP2309  | 19990430 |
| W: AE, AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GD, GE, HR, HU,<br>ID, IL, IN, IS, JP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO,<br>NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, ZA, AM, |      |          |                 |          |

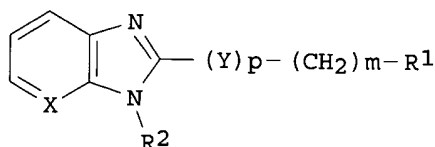
US6114358

AZ, BY, KG, KZ, MD, RU, TJ, TM  
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK,  
ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG,  
CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

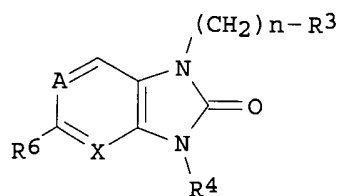
PRIORITY APPLN. INFO.: JP 1998-136045 19980430

OTHER SOURCE(S): MARPAT 131:337022

GI



I



II

AB Title compds. I and II (X, Z = N, CH; A = N, CR<sub>5</sub>; Y = O, S, SO, SO<sub>2</sub>, NH; p = 0, 1; m = 0, 1, 2; n = 1, 2; R<sub>1</sub> = Ph, pyridyl, etc; R<sub>2</sub>, R<sub>4</sub> = Ph, pyridyl, substituted Ph, etc.; and R<sub>5</sub>, R<sub>6</sub> = H; R<sub>5</sub>R<sub>6</sub> = an atom group forming an arom. ring together with the carbon atoms to which they are attached) and their pharmaceutically acceptable salts, useful as a therapeutic agents for liver diseases with no serious adverse effect, are prepd. Thus, refluxing 2-(3-nitrophenylamino)nicotinic acid with diphenylphosphoryl azide in toluene in the presence of Et<sub>3</sub>N gave 3-(3-nitrophenyl)-1,3-dihydroimidazo[4,5-b]pyridine, refluxing of which with PCl<sub>5</sub> and POCl<sub>3</sub> gave, after treatment with 3-hydroxypyridine and NaH in DMF, 3-(3-nitrophenyl)-2-(3-pyridyl)oxy-3H-imidaz[4,5-b]pyridine. 1-(4-Pyridyl)methyl-3-(3-nitrophenyl)-1,3-dihydroimidazo[4,5-b]pyridine administered 30 mg/kg orally to BALB/C mice prior to i.v. administration of Con-A inhibited the Con-A induced liver damage as reflected by blood GPT levels.

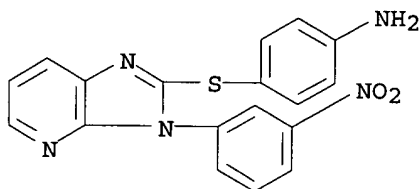
IT 249605-44-5P 249605-60-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of condensed imidazole derivs. as therapeutic agents for liver disease)

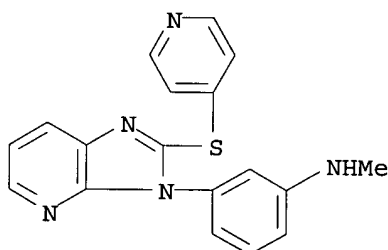
RN 249605-44-5 CAPLUS

CN Benzenamine, 4-[[3-(3-nitrophenyl)-3H-imidazo[4,5-b]pyridin-2-yl]thio]-(9CI) (CA INDEX NAME)

US6114358



RN 249605-60-5 CAPLUS  
CN Benzenamine, N-methyl-3-[2-(4-pyridinylthio)-3H-imidazo[4,5-b]pyridin-3-yl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 12 OF 30 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1998:789290 CAPLUS

DOCUMENT NUMBER: 130:177118

TITLE: Structure-activity relationships for 1-phenylbenzimidazoles as selective ATP site inhibitors of the platelet-derived growth factor receptor

AUTHOR(S): Palmer, Brian D.; Smaill, Jeff B.; Boyd, Maruta; Boschelli, Dianne H.; Doherty, Annette M.; Hamby, James M.; Khatana, Sonya S.; Kramer, James B.; Kraker, Alan J.; Panek, Robert L.; Lu, Gina H.; Dahring, Tawny K.; Winters, R. Thomas; Showalter, H. D. Hollis; Denny, William A.

CORPORATE SOURCE: Auckland Cancer Society Research Centre Faculty of Medicine and Health Sciences, The University of Auckland School of Medicine, Auckland, N. Z.

SOURCE: Journal of Medicinal Chemistry (1998), 41(27), 5457-5465

CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

AB 1-Phenylbenzimidazoles are shown to be a new class of ATP-site inhibitors of the platelet-derived growth factor receptor (PDGFR). Structure-activity relationships (SARs) are narrow, with closely related heterocycles being inactive. A systematic study of substituted 1-phenylbenzimidazoles showed clear SARs. Substituents at the 4'- and 3'-positions of the Ph ring are tolerated but do not significantly improve activity, while substituents at the 2'-position abolish it. Substituents in the 2-, 4-, and 7-positions of the benzimidazole ring (with the exception of 4-OH) also abolish activity. Most substituents at the 5- and 6-positions maintain or increase activity, with the 5-OH, 5-OMe, 5-COMe, and 5-CO2Me analogs being >10-fold more potent than the parent 1-phenylbenzimidazole. The 5-OMe analog was both the most potent



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inhibitor, and showed the highest selectivity (50-fold) between PDGFR and FGFR isolated enzymes, and also a moderately effective inhibitor (IC<sub>50</sub> = 1.9 .mu.M) of PDGF-stimulated PDGFR autophosphorylation in rat aorta smooth muscle cells.

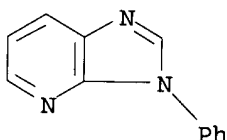
IT 220495-90-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(structure-activity relationships for 1-phenylbenzimidazoles as selective ATP site inhibitors of the platelet-derived growth factor receptor)

RN 220495-90-9 CAPLUS

CN 3H-Imidazo[4,5-b]pyridine, 3-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 13 OF 30 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1995:354225 CAPLUS

DOCUMENT NUMBER: 122:133200

TITLE: 5-arylindole derivatives and their use as serotonin (5-HT<sub>1</sub>) agonists

INVENTOR(S): Macor, John Eugene

PATENT ASSIGNEE(S): Pfizer Inc., USA

SOURCE: PCT Int. Appl., 72 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

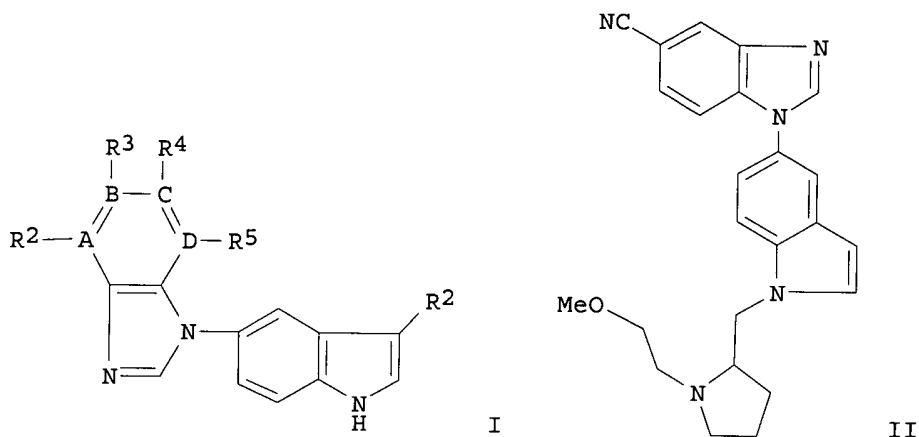
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.   | KIND | DATE     | APPLICATION NO. | DATE     |
|--|------|----------|-----------------|----------|
| WO 9410171   | A1   | 19940511 | WO 1993-US9790  | 19931019 |
| W: AU, BR, CA, CZ, JP, KR, NO, NZ, PL, RU, US                      |      |          |                 |          |
| RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE |      |          |                 |          |
| CA 2148380   | AA   | 19940511 | CA 1993-2148380 | 19931019 |
| CA 2148380   | C    | 20010814 |                 |          |
| CA 2340999   | AA   | 19940511 | CA 1993-2340999 | 19931019 |
| AU 9453294   | A1   | 19940524 | AU 1994-53294   | 19931019 |
| AU 685066  | B2   | 19980115 |                 |          |
| EP 666858  | A1   | 19950816 | EP 1993-923389  | 19931019 |
| EP 666858  | B1   | 20010613 |                 |          |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE  |      |          |                 |          |
| JP 07508759  | T2   | 19950928 | JP 1993-511101  | 19931019 |
| JP 2788551   | B2   | 19980820 |                 |          |
| CZ 283001  | B6   | 19971217 | CZ 1995-1108    | 19931019 |
| PL 176091  | B1   | 19990430 | PL 1993-308669  | 19931019 |
| BR 9307348   | A    | 19990511 | BR 1993-7348    | 19931019 |

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|   |    |          |                   |             |
|---|----|----------|-------------------|-------------|
| RU 2134266  | C1 | 19990810 | RU 1995-109927    | 19931019    |
| EP 1094064  | A1 | 20010425 | EP 2000-124422    | 19931019    |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE |    |          |                   |             |
| ES 2157224  | T3 | 20010816 | ES 1993-923389    | 19931019    |
| IL 107386   | A1 | 19990312 | IL 1993-107386    | 19931025    |
| FI 9304825  | A  | 19940503 | FI 1993-4825      | 19931101    |
| CN 1094727  | A  | 19941109 | CN 1993-120716    | 19931101    |
| CN 1051313  | B  | 20000412 |                   |             |
| ZA 9308137  | A  | 19950502 | ZA 1993-8137      | 19931101    |
| HU 66011  | A2 | 19940829 | HU 1993-3118      | 19931102    |
| US 5886008  | A  | 19990323 | US 1995-424357    | 19950427    |
| NO 9501633  | A  | 19950428 | NO 1995-1633      | 19950428    |
| FI 2000002505   | A  | 20001115 | FI 2000-2505      | 20001115    |
| PRIORITY APPLN. INFO.:  |    |          | US 1992-970758    | A 19921102  |
|   |    |          | CA 1993-2148380   | A3 19931019 |
|   |    |          | EP 1993-923389    | A3 19931019 |
|   |    |          | WO 1993-US9790    | W 19931019  |
| OTHER SOURCE(S):  |    |          | MARPAT 122:133200 |             |
| GI  |    |          |                   |             |



AB The title compds. I (R1 = aminoalkyl; R2-R5 = H, alkyl, aryl, etc.) were disclosed. I are useful in treating migraine and other disorders; they are useful psychotherapeutics and are potent serotonin (5-HT1) agonists and benzodiazepine agonists and antagonists and may be used in the treatment of depression, anxiety, eating disorders, obesity, drug abuse, cluster headache, migraine, pain and chronic paroxysmal hemicrania and headache assocd. with vascular disorders, and other disorders arising from deficient serotonergic neurotransmission. I are also useful as centrally acting antihypertensives and vasodilators. A specifically claimed example compd. is 5-cyano-1-[[3-[1-(2-methoxyethyl)-2-pyrrolidinyl]methyl]-5-indolyl]-1H-benzimidazole (II).

IT **160906-88-7P**

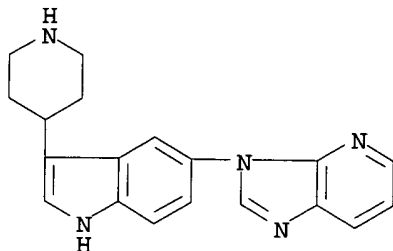
RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of, as intermediate for arylindole serotoninergic agonist)

RN 160906-88-7 CAPLUS

CN 3H-Imidazo[4,5-b]pyridine, 3-[3-(4-piperidinyl)-1H-indol-5-yl]- (9CI) (CA INDEX NAME)

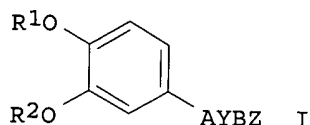
US6114358



L3 ANSWER 14 OF 30 CAPLUS COPYRIGHT 2003 ACS  
ACCESSION NUMBER: 1994:655405 CAPLUS  
DOCUMENT NUMBER: 121:255405  
TITLE: Catechol diethers as selective phosphodiesterase IV inhibitors  
INVENTOR(S): Duplantier, Allen J.; Eggler, James F.; Marfat, Anthony; Masamune, Hiroko  
PATENT ASSIGNEE(S): Pfizer Inc., USA  
SOURCE: PCT Int. Appl., 159 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

| PATENT NO.   | KIND              | DATE     | APPLICATION NO. | DATE        |
|--|-------------------|----------|-----------------|-------------|
| WO 9412461   | A1                | 19940609 | WO 1993-US10228 | 19931029    |
| W: AU, BR, CA, CZ, JP, KR, NO, NZ, PL, RU, US                      |                   |          |                 |             |
| RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE |                   |          |                 |             |
| CA 2150812   | AA                | 19940609 | CA 1993-2150812 | 19931029    |
| CA 2150812   | C                 | 20021224 |                 |             |
| AU 9455396   | A1                | 19940622 | AU 1994-55396   | 19931029    |
| AU 673569  | B2                | 19961114 |                 |             |
| EP 672031  | A1                | 19950920 | EP 1994-900390  | 19931029    |
| EP 672031  | B1                | 20030312 |                 |             |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE  |                   |          |                 |             |
| JP 08501318  | T2                | 19960213 | JP 1993-513129  | 19931029    |
| BR 9307570   | A                 | 19990525 | BR 1993-7570    | 19931029    |
| JP 3100984   | B2                | 20001023 | JP 1994-513129  | 19931029    |
| AT 234270  | E                 | 20030315 | AT 1994-900390  | 19931029    |
| IL 107758  | A1                | 19971120 | IL 1993-107758  | 19931125    |
| FI 9305379   | A                 | 19940603 | FI 1993-5379    | 19931201    |
| ZA 9308978   | A                 | 19950601 | ZA 1993-8978    | 19931201    |
| HU 65928   | A2                | 19940728 | HU 1993-3423    | 19931202    |
| CN 1094028   | A                 | 19941026 | CN 1993-112776  | 19931202    |
| NO 9502178   | A                 | 19950801 | NO 1995-2178    | 19950601    |
| US 5814651   | A                 | 19980929 | US 1997-872686  | 19970610    |
| PRIORITY APPLN. INFO.:   |                   |          | US 1992-984408  | A 19921202  |
|  |                   |          | WO 1993-US10228 | W 19931029  |
|  |                   |          | US 1993-142328  | B3 19931126 |
| OTHER SOURCE(S):   | MARPAT 121:255405 |          |                 |             |
| GI   |                   |          |                 |             |

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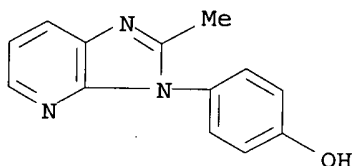
AB The title compds. [I; A, B = direct bond, (un)substituted C1-5 alkylene, (un)substituted alkenyl, (un)substituted phenylene; R1 = Me, Et, CF2H, CF3; R2 = C1-6 alkyl, alkoxyalkyl, phenoxyalkyl, cycloalkyl, etc.; Y = direct bond, O, NR6, S; R6 = H, C1-4 alkyl; Z = (un)substituted monocyclic or bicyclic heterocyclyl], which are inhibitors of phosphodiesterase IV (no data), useful in the treatment of inflammatory conditions (no data), etc., are prepd. Thus, 3-(carbomethoxy)benzyltriphenylphosphonium bromide was reacted with 3-cyclopentyloxy-4-methoxybenzaldehyde in the presence of BuLi, producing Me 3-[2-[3-(cyclopentyloxy)-4-methoxyphenyl]ethenyl]benzoate (36% cis-isomer, 36% trans-isomer).

IT 132458-94-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(prepn. and reaction of, in prepn. of catechol diether phosphodiesterase IV inhibitors)

RN 132458-94-7 CAPLUS

CN Phenol, 4-(2-methyl-3H-imidazo[4,5-b]pyridin-3-yl)- (9CI) (CA INDEX NAME)

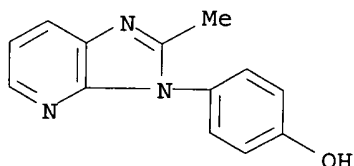


IT 132458-94-7

RL: RCT (Reactant); RACT (Reactant or reagent)  
(reaction of, in prepn. of catechol diether phosphodiesterase IV inhibitors)

RN 132458-94-7 CAPLUS

CN Phenol, 4-(2-methyl-3H-imidazo[4,5-b]pyridin-3-yl)- (9CI) (CA INDEX NAME)



L3 ANSWER 15 OF 30 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1994:400458 CAPLUS

DOCUMENT NUMBER: 121:458

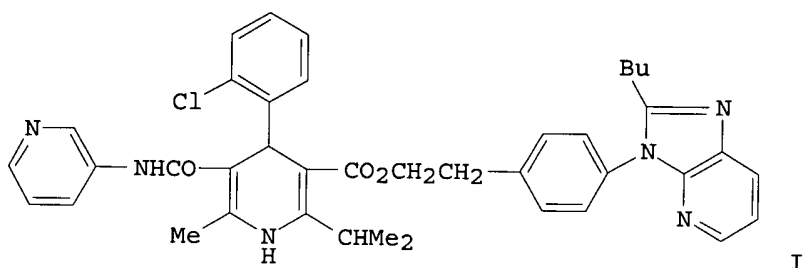
TITLE: Dihydropyridines: a new class of angiotensin II antagonists

AUTHOR(S): Wester, Ronald T.; Jularski, Christian J.; Magnus-Ayritey, George T.; da Silva Jardine, Paul; LaFlamme, Janet S.; Berke, Helen; Bussolotti, Donald L.; Rauch, Albert L.; Hoover, Karen W.

CORPORATE SOURCE: Dep. Med. Chem., Pfizer Cent. Res., Groton, CT, 06340,

US6114358

SOURCE: USA  
Bioorganic & Medicinal Chemistry Letters (1994), 4(1),  
133-8  
CODEN: BMCLE8; ISSN: 0960-894X  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
GI

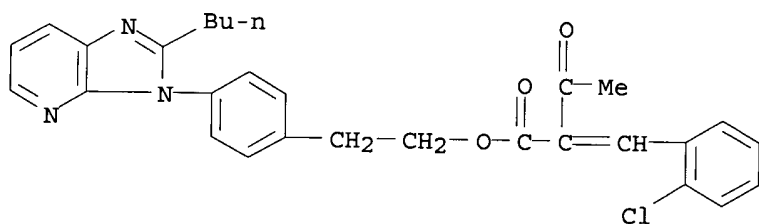


AB The synthesis and biol. activities of dihydropyridine angiotensin II (AII) antagonists are described. Compds. such as I are examples of a new, structurally distinct class for AT1-selective agents.

IT **154668-32-3P**  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(prepn. and Hantzsch reaction of, with enamine deriv.)

RN 154668-32-3 CAPLUS

CN Butanoic acid, 2-[(2-chlorophenyl)methylene]-3-oxo-, 2-[4-(2-butyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl]ethyl ester (9CI) (CA INDEX NAME)



L3 ANSWER 16 OF 30 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1993:449305 CAPLUS

DOCUMENT NUMBER: 119:49305

TITLE: Ethoxymethylenemalonates and malononitriles (EMM reagents) as formic acid equivalents: synthesis of fused-imidazoles under neutral or mildly acidic conditions

AUTHOR(S): Segelstein, Barb E.; Chenard, Bert L.; Macor, John E.; Post, Ronald J.

CORPORATE SOURCE: Cent. Res. Div., Pfizer Inc., Groton, CT, 06340, USA

SOURCE: Tetrahedron Letters (1993), 34(12), 1897-1900  
CODEN: TELEAY; ISSN: 0040-4039

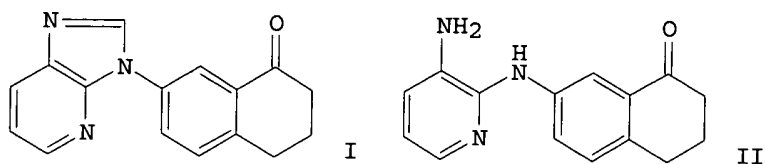
DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 119:49305

GI

US6114358



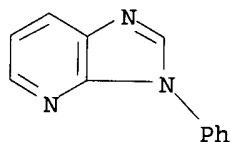
AB The use of ethoxymethylenemalonates and malononitriles (EMM reagents) for the efficient synthesis of fused imidazoles and related compds. is described. E.g., imidazolopyridine I was prepd. from ortho-diamine II and di-Et ethoxymethylenemalonate in isopropanol solvent in 88% yield.

IT 61532-33-0P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of)

RN 61532-33-0 CAPLUS

CN 3H-Imidazo[4,5-b]pyridine, 3-phenyl- (9CI) (CA INDEX NAME)



L3 ANSWER 17 OF 30 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1991:535998 CAPLUS

DOCUMENT NUMBER: 115:135998

TITLE: Potent, orally active imidazo[4,5-b]pyridine-based  
angiotensin II receptor antagonists

AUTHOR(S): Mantlo, Nathan B.; Chakravarty, Prasun K.; Ondeyka,  
Debra L.; Siegl, Peter K. S.; Chang, Raymond S.;  
Lotti, Victor J.; Faust, Kristie A.; Schorn, Terry W.;  
Chen, Tsing Bau; et al.

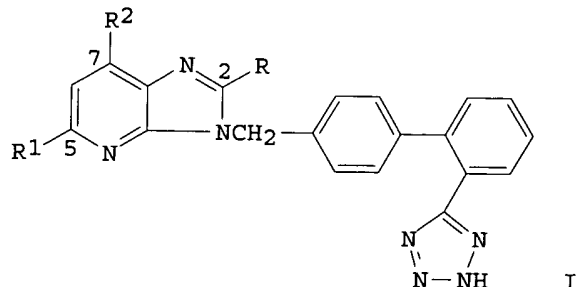
CORPORATE SOURCE: Explor. Chem., Merck Sharp and Dohme Res. Lab.,  
Rahway, NJ, 07065, USA

SOURCE: Journal of Medicinal Chemistry (1991), 34(9), 2919-22  
CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



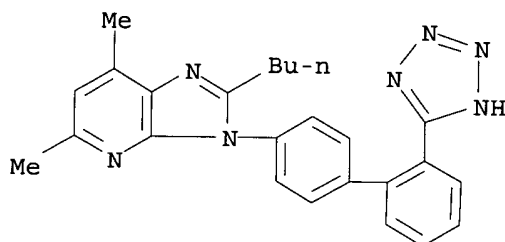
AB Several title Angiotensin II (AII) antagonists I (R = Et, Pr, Bu, R1 = R2 = H, Me; R1 = Me, R2 = H; R1 = H, R2 = Me) were prepd. Substituents at the 2, 5, and 7-positions of the imidazopyridine have a profound effect on the in vitro binding affinity to AII receptors (rabbit aorta membrane prepn.) and on the inhibition of the AII-induced pressor responses in conscious rats. The most active compd., I (R = Et, R1 = R2 = Me) is extremely potent in vitro (IC50 = 0.3 nM, rabbit aorta), and in vivo (ED50 = 0.048 mg/Kg i.v. and 0.026 mg/Kg p.o., conscious rat). This compd. is a specific AT1 antagonist, and substantially lowers the blood pressure of high-renin hypertensive rats upon oral dosing (0.1 and 0.3 mg/Kg) with a duration of action exceeding 24 h.

IT 135145-94-7P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. and Angiotensin II antagonist activity of)

RN 135145-94-7 CAPLUS

CN 3H-Imidazo[4,5-b]pyridine, 2-butyl-5,7-dimethyl-3-[2'-(1H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]- (9CI) (CA INDEX NAME)



L3 ANSWER 18 OF 30 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1991:114909 CAPLUS

DOCUMENT NUMBER: 114:114909

TITLE: Synthesis and pharmacological properties of some derivatives of 3-phenylimidazo[4,5-b]pyridine

AUTHOR(S): Kaczmarek, Lukasz; Nantka-Namirski, Pawel; Moryl, Elzbieta; Chojnacka-Wojcik, Ewa

CORPORATE SOURCE: Inst. Org. Chem., Pol. Acad. Sci., Warsaw, 01-224, Pol.

SOURCE: Polish Journal of Pharmacology and Pharmacy (1990), 42(1), 79-84

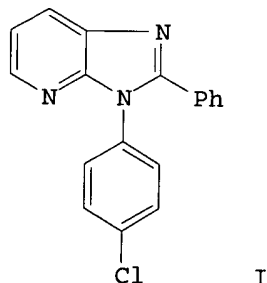
CODEN: PJPPAA; ISSN: 0301-0244

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 114:114909

GI



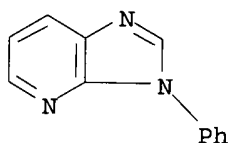
US6114358

AB The synthesis and properties of some derivs. of 3-phenylimidazo[4,5-b]pyridine were described. The central action of these compds. was investigated, using behavioral tests in mice and rats. The tested compds. showed a potent sedative effect. The compd. (I) has central serotonolytic properties in the m-chlorophenylpiperazine-induced hyperthermia in rats.

IT 61532-33-0DP, derivs. 132458-93-6P 132458-94-7P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. and central nervous system pharmacol. of)

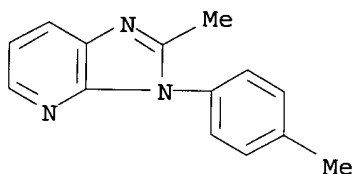
RN 61532-33-0 CAPLUS

CN 3H-Imidazo[4,5-b]pyridine, 3-phenyl- (9CI) (CA INDEX NAME)



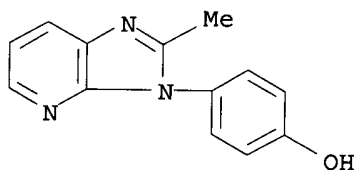
RN 132458-93-6 CAPLUS

CN 3H-Imidazo[4,5-b]pyridine, 2-methyl-3-(4-methylphenyl)- (9CI) (CA INDEX NAME)



RN 132458-94-7 CAPLUS

CN Phenol, 4-(2-methyl-3H-imidazo[4,5-b]pyridin-3-yl)- (9CI) (CA INDEX NAME)



L3 ANSWER 19 OF 30 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1989:231514 CAPLUS

DOCUMENT NUMBER: 110:231514

TITLE: Thionation of imidazopyridines

AUTHOR(S): Yutilov, Yu. M.; Svertilova, I. A.

CORPORATE SOURCE: Inst. Fiz.-Org. Khim., Donetsk, 340114, USSR

SOURCE: Khimiya Geterotsiklicheskikh Soedinenii (1988), (6), 799-804

CODEN: KGSSAQ; ISSN: 0453-8234

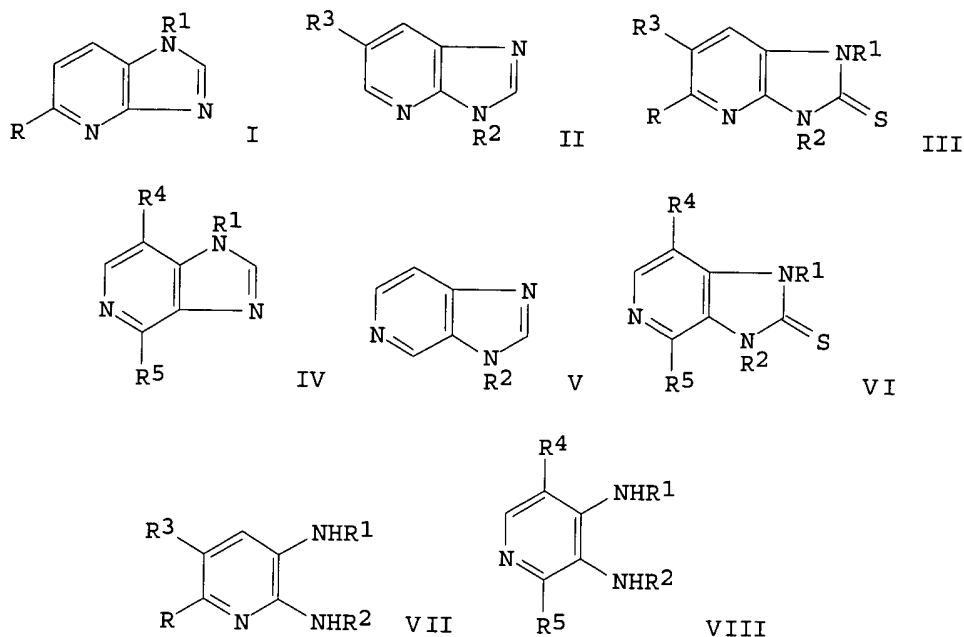
DOCUMENT TYPE: Journal

LANGUAGE: Russian

OTHER SOURCE(S): CASREACT 110:231514

GI





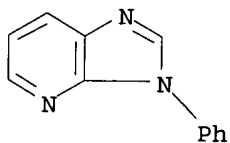
AB Direct thionation of imidazo[4,5-b]pyridines I (R = H, O<sub>2</sub>N; R<sub>1</sub> = Me) and II (R<sub>2</sub> = Me, Ph, PhCH<sub>2</sub>, R<sub>3</sub> = H; R<sub>2</sub> = H, R<sub>3</sub> = H, Cl, Br) with S at 230-260.degree. gave 8 corresponding dihydroimidazopyridinethiones III in 76-99% yield. Analogous reaction of 12 imidazo[4,5-c]pyridines IV (R<sub>1</sub> = H, Me<sub>2</sub>CH, Bu, cyclohexyl, Ph, PhCH<sub>2</sub>; R<sub>4</sub> = H, Br, NO<sub>2</sub>; R<sub>5</sub> = H, Cl, OMe) and V (R<sub>2</sub> = Me, Et, Ph, CH<sub>2</sub>) gave .gtoreq.99% thiones VI (same R<sub>1</sub>-R<sub>5</sub>). III and VI were also prepd. in 66-99% by cyclocondensation reaction of the corresponding diaminopyridines VII and VIII, resp., with CS<sub>2</sub> or aq. EtOCS<sub>2</sub>M (M = Na, K) in refluxing pyridine. The IR spectra of III and VI verified the thione structure.

IT 61532-33-0

RL: RCT (Reactant); RACT (Reactant or reagent)  
(thionation of)

RN 61532-33-0 CAPLUS

CN 3H-Imidazo[4,5-b]pyridine, 3-phenyl- (9CI) (CA INDEX NAME)



L3 ANSWER 20 OF 30 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1984:34516 CAPLUS

DOCUMENT NUMBER: 100:34516

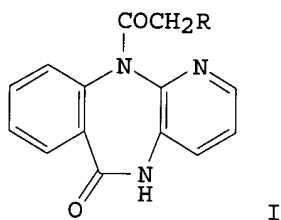
TITLE: New synthesis of 11-acyl-5,11-dihydro-6H-pyrido[2,3-b][1,4]benzodiazepin-6-ones and related studies

AUTHOR(S): Kovac, T.; Oklobdzija, M.; Comisso, G.; Decorte, E.; Fajdiga, T.; Moimas, F.; Angeli, C.; Zonno, F.; Toso, R.; Sunjic, V.

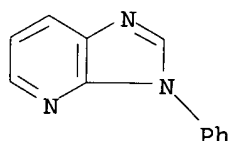
CORPORATE SOURCE: Chem. Res. Co., San Giovanni, Italy

US6114358

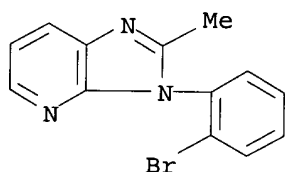
SOURCE: Journal of Heterocyclic Chemistry (1983), 20(5),  
1339-49  
CODEN: JHTCAD; ISSN: 0022-152X  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
OTHER SOURCE(S): CASREACT 100:34516  
GI



AB 11-Acyl-5,11-dihydro-6H-pyrido[2,3-b][1,4]benzodiazepin-6-ones I (R =  
4-methylpiperazino, imidazolo, 2-methylimidazolo) were prepd. via  
N-.alpha.-chloroacetylation and aminolysis. Other attempts at cyclization  
to form I are also reported.  
IT 61532-33-0P 88369-70-4P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of)  
RN 61532-33-0 CAPLUS  
CN 3H-Imidazo[4,5-b]pyridine, 3-phenyl- (9CI) (CA INDEX NAME)



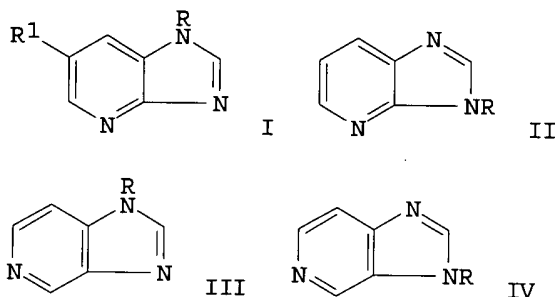
RN 88369-70-4 CAPLUS  
CN 3H-Imidazo[4,5-b]pyridine, 3-(2-bromophenyl)-2-methyl- (9CI) (CA INDEX  
NAME)



L3 ANSWER 21 OF 30 CAPLUS COPYRIGHT 2003 ACS  
ACCESSION NUMBER: 1981:156825 CAPLUS  
DOCUMENT NUMBER: 94:156825  
TITLE: Cyclization of o-diaminopyridines by amyl ester of  
formic acid  
AUTHOR(S): Ignatenko, A. G.; Eilazyan, O. G.; Svertilova, I. A.;  
Yutilov, Yu. M.  
CORPORATE SOURCE: Inst. Fiz.-Org. Khim. Uglekhim., Donetsk, USSR

US6114358

SOURCE: Deposited Doc. (1980), VINITI 296, 7 pp. Avail.:  
VINITI  
DOCUMENT TYPE: Report  
LANGUAGE: Russian  
GI



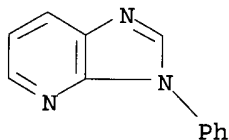
AB Imidazopyridines I (R = H, R1 = H, Cl; R = Me, R1 = H), II (R = Me, Ph, PhCH2), III (R = Me, Ph, PhCH2, cyclohexyl), and IV (R = H, Me, PhCH2) were prepd. in 85-98% yields by cyclocondensation of an appropriate o-diaminopyridine with HCO2(CH2)4Me 2-3.5 h at reflux.

IT 61532-33-0P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of)

RN 61532-33-0 CAPLUS

CN 3H-Imidazo[4,5-b]pyridine, 3-phenyl- (9CI) (CA INDEX NAME)



L3 ANSWER 22 OF 30 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1980:604646 CAPLUS

DOCUMENT NUMBER: 93:204646

TITLE: Imidazo[4,5-b] or [4,5-c] pyridine or their derivatives

INVENTOR(S): Yutilov, Yu. M.; Ignatenko, A. G.; Eilazyan, O. G.; Svertilova, I. A.

PATENT ASSIGNEE(S): Institute of Physical-Organic Chemistry and Coal Chemistry, Academy of Sciences, Ukrainian S.S.R., USSR U.S.S.R. From: Otkrytiya, Izobret., Prom. Obraztsy, Tovarnye Znaki 1980, (7), 121-2.

SOURCE: CODEN: URXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Russian

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

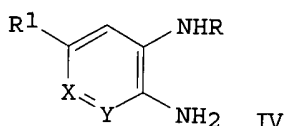
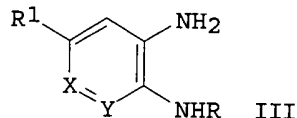
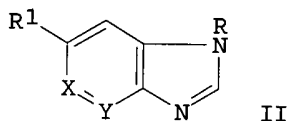
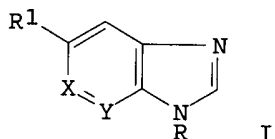
| PATENT NO. | KIND | DATE     | APPLICATION NO. | DATE     |
|------------|------|----------|-----------------|----------|
| SU 717055  | T    | 19800225 | SU 1977-2561027 | 19771229 |

US6114358

PRIORITY APPLN. INFO.:  
GI

SU 1977-2561027

19771229



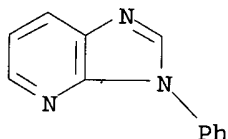
AB Imidazopyridines I (X = CH, Y = N, R = R1 = H, R = Me, Ph, benzyl, R1 = H, R = H, R1 = Cl; X = N, Y = CH, R = R1 = H, R = Me, benzyl, R1 = H) and II (X = CH, Y = N, R = Me, R1 = H; X = N, Y = CH, R = Me, Ph, benzyl, cyclohexyl, R1 = H) were prepd. by treating aminopyridines III or IV with a cyclizing agent, e.g., amyl formate at reflux temp. of the reaction mixt.

IT 61532-33-0P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of)

RN 61532-33-0 CAPLUS

CN 3H-Imidazo[4,5-b]pyridine, 3-phenyl- (9CI) (CA INDEX NAME)



L3 ANSWER 23 OF 30 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1979:405227 CAPLUS

DOCUMENT NUMBER: 91:5227

TITLE: Imidazopyridin-2-ones and pharmaceutical compositions and methods of treatment

INVENTOR(S): Clark, Robert L.; Pessolano, Arsenio A.; Shen, Tsung-Ying

PATENT ASSIGNEE(S): Merck and Co., Inc., USA

SOURCE: U.S., 20 pp.

CODEN: USXXAM

DOCUMENT TYPE: Patent

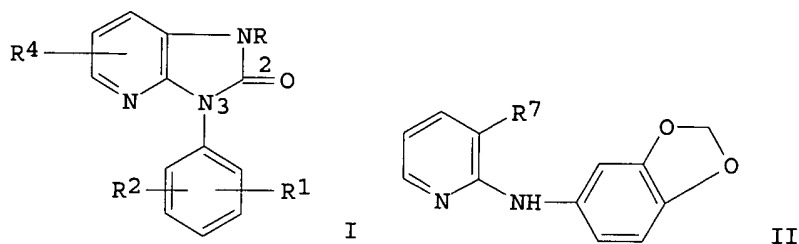
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

| PATENT NO.             | KIND | DATE     | APPLICATION NO. | DATE     |
|------------------------|------|----------|-----------------|----------|
| US 4144341             | A    | 19790313 | US 1977-853975  | 19771122 |
| PRIORITY APPLN. INFO.: |      |          | US 1975-601672  | 19750528 |
|                        |      |          | US 1976-670798  | 19760326 |

GI



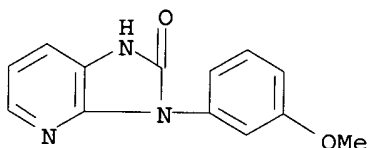
AB Imidazopyridines I [R = H, C2-6 alkenyl, C1-7 alkyl (substituted with C3-6 cycloalkyl, C1-5 alkoxy, or OH), C4-7 cycloalkyl; R1, R2, R4 = H, 5- or 6-F, 5- or 6-Cl, 5- or 6-Cl-5 alkoxy-carbonylamino; R1R2 = OCR5R6O (R5, R6 = H, alkyl)] were prepd. by several methods. Also prepd. were 3-heterocyclyl analogs of I and 2-thiono analogs of I. I and their analogs were analgesics, antipyretics, and antiinflammatory agents (no data). Thus, refluxing 2-chloro-3-nitropyridine with 3,4-(OCH2O)C6H3NH2 in NaOAc-AcOH 5 h gave nitropyridine II (R7 = NO2) which was hydrogenated over Pd/C in MeOH and the diamine II (R7 = NH2) cyclized with COCl2 overnight at room temp. to give I (R = R4 = H, R1R2 = 3,4-OCH2O), which was converted into a variety of I (R = alkyl, alkenyl, acyl, R1R2 = OCH2O, R4 = H).

IT 61963-13-1P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of)

RN 61963-13-1 CAPLUS

CN 2H-Imidazo[4,5-b]pyridin-2-one, 1,3-dihydro-3-(3-methoxyphenyl)- (9CI)  
(CA INDEX NAME)



L3 ANSWER 24 OF 30 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1979:145541 CAPLUS

DOCUMENT NUMBER: 90:145541

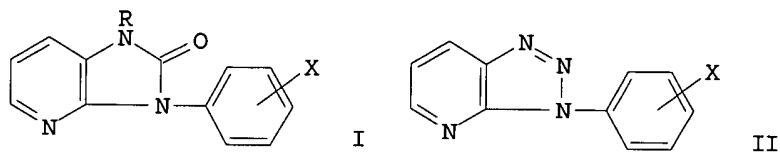
TITLE: Synthesis and analgesic activity of  
1,3-dihydro-3-(substituted phenyl)imidazo[4,5-  
b]pyridin-2-ones and 3-(substituted  
phenyl)-1,2,3-triazolo[4,5-b]pyridines

AUTHOR(S): Clark, Robert L.; Pessolano, Arsenio A.; Shen,  
Tsung-Ying; Jacobus, David P.; Jones, Howard; Lotti,  
Victor J.; Flataker, Lars M.

CORPORATE SOURCE: Merck Sharp and Dohme Res. Lab., Rahway, NJ, USA  
SOURCE: Journal of Medicinal Chemistry (1978), 21(9), 965-78  
CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal  
LANGUAGE: English

GI



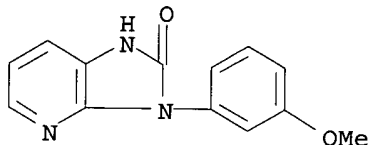
AB One hundred-thirty imidazo[4,5-b]pyridin-2-ones (I, R = H or alkyl, X = H, halo, alkyl, NH<sub>2</sub>, etc.) and 60 triazolo[4,5-b]pyridines (II, X = H, halo, alkyl, alkoxy, NO<sub>2</sub>, etc.) were prepd., eg by cyclizing 3-nitro-2-anilinopyridines with COCl<sub>2</sub>, urea, or NaNO<sub>2</sub>. I and II increased the pain threshold of both the inflamed and the normal foot in a modified Randall-Selitto test. I (R = H, X = 3,4-OCH<sub>2</sub>O), I (R = allyl, X = 3,4-OCH<sub>2</sub>O), I (R = CHMe<sub>2</sub>, X = 3,4-OCH<sub>2</sub>O), II (X = H) and II (X = F) were the most active compds. The analgesic activity of I was superior to that of codeine or D-propoxyphene, while showing no narcotic characteristics. Some I and II were effective in the carrageenin edema test.

IT 61963-13-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. and analgesic activity of)

RN 61963-13-1 CAPLUS

CN 2H-Imidazo[4,5-b]pyridin-2-one, 1,3-dihydro-3-(3-methoxyphenyl)- (9CI)  
(CA INDEX NAME)



L3 ANSWER 25 OF 30 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1978:73920 CAPLUS

DOCUMENT NUMBER: 88:73920

TITLE: Basicity of some derivatives of imidazo[4,5-b]pyridine

AUTHOR(S): Korzhenevskaya, N. G.; Titov, E. V.; Svertilova, I. A.; Bystrova, R. M.; Yutilov, Yu. M.

CORPORATE SOURCE: Inst. Fiz.-Org. Khim. Uglekhim., Donetsk, USSR

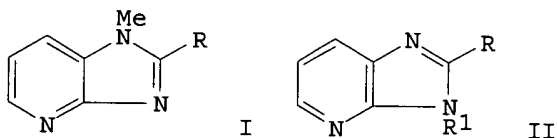
SOURCE: Deposited Doc. (1975), VINITI 3772-75, 6 pp. Avail.:

VINITI

DOCUMENT TYPE: Report

LANGUAGE: Russian

GI



AB The basicities of I (R = H, Cl, Me) and II (R,R1 = H, Me; Me, Me; Cl, Me;

US6114358

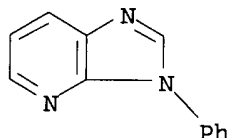
NH<sub>2</sub>, Me; Me<sub>2</sub>N, Me; MeS, Ph; H, PhCH<sub>2</sub>; H, Ph, Cl, Ph) were detd. spectrally and correlated with structure.

IT 61532-33-0

RL: PRP (Properties)  
(basicity of)

RN 61532-33-0 CAPLUS

CN 3H-Imidazo[4,5-b]pyridine, 3-phenyl- (9CI) (CA INDEX NAME)



L3 ANSWER 26 OF 30 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1977:106592 CAPLUS

DOCUMENT NUMBER: 86:106592

TITLE: 1,3-Dihydroimidazo[4,5-b]pyridin-2-ones and thiones

INVENTOR(S): Clark, Robert Long; Pessolano, Arsenio A.; Shen, Tsung-Ying

PATENT ASSIGNEE(S): Merck and Co., Inc., USA

SOURCE: Ger. Offen., 83 pp.

CODEN: GWXXBX

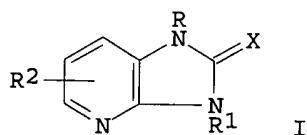
DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

| PATENT NO.                   | KIND | DATE     | APPLICATION NO. | DATE     |
|------------------------------|------|----------|-----------------|----------|
| DE 2623469                   | A1   | 19761216 | DE 1976-2623469 | 19760525 |
| DK 7602100                   | A    | 19761129 | DK 1976-2100    | 19760512 |
| SE 422799                    | B    | 19820329 | SE 1976-5399    | 19760512 |
| SE 422799                    | C    | 19820708 |                 |          |
| NL 7605131                   | A    | 19761130 | NL 1976-5131    | 19760513 |
| AU 7614055                   | A1   | 19771124 | AU 1976-14055   | 19760518 |
| AU 510273                    | B2   | 19800619 |                 |          |
| FR 2312248                   | A1   | 19761224 | FR 1976-15430   | 19760521 |
| FR 2312248                   | B1   | 19790921 |                 |          |
| BE 842255                    | A1   | 19761126 | BE 1976-167360  | 19760526 |
| ZA 7603164                   | A    | 19770525 | ZA 1976-3164    | 19760526 |
| ES 448280                    | A1   | 19780301 | ES 1976-448280  | 19760526 |
| GB 1542940                   | A    | 19790328 | GB 1976-21886   | 19760526 |
| HU 20154                     | O    | 19810627 | HU 1976-ME1980  | 19760527 |
| HU 177865                    | P    | 19820128 |                 |          |
| JP 51143696                  | A2   | 19761210 | JP 1976-61324   | 19760528 |
| CH 635586                    | A    | 19830415 | CH 1976-6718    | 19760528 |
| PRIORITY APPLN. INFO.:<br>GI |      |          | US 1975-601672  | 19750528 |



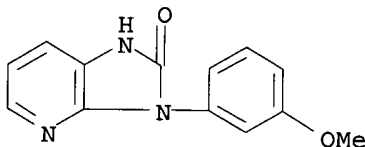
AB Imidazopyridinones and thiones I [R = e.g. H, Pr, H<sub>2</sub>C:CHCH<sub>2</sub>, Me, PhCH<sub>2</sub>; R<sub>1</sub> = e.g. Ph, 2,4-(MeO)<sub>2</sub>C<sub>6</sub>H<sub>3</sub>, 2,4-Me<sub>2</sub>C<sub>6</sub>H<sub>3</sub>, 3,4-(OCH<sub>2</sub>O)<sub>2</sub>C<sub>6</sub>H<sub>3</sub>, 2-BrC<sub>6</sub>H<sub>4</sub>, 3-FC<sub>6</sub>H<sub>4</sub>, 2-methyl-6-pyridinyl, 1,3-dihydro-5-isobenzofuranyl; R<sub>2</sub> = e.g. H, 6-Me, 6-NO<sub>2</sub>, 6-NH<sub>2</sub>; X = O, S], useful as analgesics, antipyretics and inflammation inhibitors (no data), are prepd. by reaction of 2-chloro-3-nitropyridine (II) with an aniline, redn. of the resulting 2-anilino-3-nitropyridine to the 3-amino-2-anilinopyridine and cyclocondensation with COCl<sub>2</sub> or CSCl<sub>2</sub>, for example. Thus, reaction of II with 3,4-methylenedioxyaniline gives 2-[3,4-(methylenedioxy)anilino]-3-nitropyridine, which is reduced and cyclocondensed with COCl<sub>2</sub> to give I [R = R<sub>2</sub> = H, R<sub>1</sub> = 3,4-(OCH<sub>2</sub>O)<sub>2</sub>C<sub>6</sub>H<sub>3</sub>, X = O].

IT 61963-13-1P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of)

RN 61963-13-1 CAPLUS

CN 2H-Imidazo[4,5-b]pyridin-2-one, 1,3-dihydro-3-(3-methoxyphenyl)- (9CI)  
(CA INDEX NAME)



L3 ANSWER 27 OF 30 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1977:55343 CAPLUS

DOCUMENT NUMBER: 86:55343

TITLE: Direct hydroxylation of N-substituted  
imidazo[4,5-b]pyridine and imidazo[4,5]pyridine

AUTHOR(S): Yutilov, Yu. M.; Svertilova, I. A.

CORPORATE SOURCE: Inst. Fiz. Org. Khim. Uglekhim., Donetsk, USSR

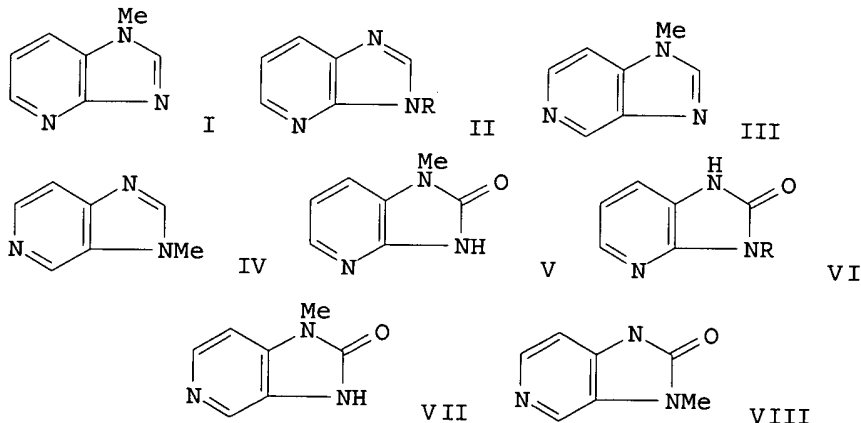
SOURCE: Khimiya Geterotsiklicheskikh Soedinenii (1976), (9),  
1252-4

CODEN: KGSSAQ; ISSN: 0132-6244

DOCUMENT TYPE: Journal

LANGUAGE: Russian

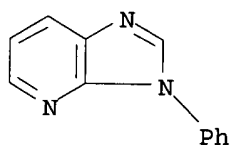
GI



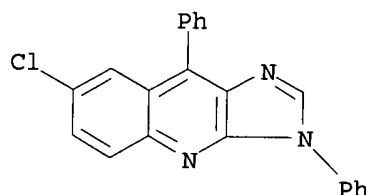


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AB Hydroxylation of I, II (R = Me, PhCH<sub>2</sub>), III, and IV by heating with KOH at 150-90.degree. gave 27-98% yields of V, VI (R = Me, PhCH<sub>2</sub>), VII, and VIII.  
IT **61532-33-0P**  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (prepn. and hydroxylation of)  
RN 61532-33-0 CAPLUS  
CN 3H-Imidazo[4,5-b]pyridine, 3-phenyl- (9CI) (CA INDEX NAME)



L3 ANSWER 28 OF 30 CAPLUS COPYRIGHT 2003 ACS  
ACCESSION NUMBER: 1976:164739 CAPLUS  
DOCUMENT NUMBER: 84:164739  
TITLE: Synthesis and reactions of 2-arylamino-3-nitroquinolines  
AUTHOR(S): Schaefer, Harry; Gewald, K.; Seifert, M.  
CORPORATE SOURCE: Sek. Chem., Tech. Univ. Dresden, Dresden, Ger. Dem. Rep.  
SOURCE: Journal fuer Praktische Chemie (Leipzig) (1976), 318(1), 39-50  
CODEN: JPCEAO; ISSN: 0021-8383  
DOCUMENT TYPE: Journal  
LANGUAGE: German  
GI For diagram(s), see printed CA Issue.  
AB The condensation of PhNH(MeS)C:CHNO<sub>2</sub> (I) with acylanilines II (R = Ph, Me, 4-MeC<sub>6</sub>H<sub>4</sub>, HO; R<sub>1</sub> = H, Br, Cl) gave nitroquinolines III. Similarly thiophenecarboxylates IV (n = 4, 5) gave thienopyridines V. The redn. of the 3-NO<sub>2</sub> of III to NH<sub>2</sub> followed by cycloaddn. reactions using NaNO<sub>2</sub>-HCl, HCO<sub>2</sub>H, MeCOCO<sub>2</sub>H, AcCH<sub>2</sub>CO<sub>2</sub>Et, and MeCOCOME gave VI-X (R = Me, Ph; R<sub>1</sub> = H, Cl).  
IT **59163-27-8P**  
RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)  
RN 59163-27-8 CAPLUS  
CN 3H-Imidazo[4,5-b]quinoline, 7-chloro-3,9-diphenyl- (9CI) (CA INDEX NAME)



L3 ANSWER 29 OF 30 CAPLUS COPYRIGHT 2003 ACS  
ACCESSION NUMBER: 1975:4251 CAPLUS  
DOCUMENT NUMBER: 82:4251  
TITLE: Imidazo[4,5-b]pyridines  
INVENTOR(S): Kutter, Eberhard; Austel, Volkhard; Diederer, Willi  
PATENT ASSIGNEE(S): Thomae, Dr. Karl., G.m.b.H.  
SOURCE: Ger. Offen., 62 pp.

US6114358

DOCUMENT TYPE: CODEN: GWXXBX  
 LANGUAGE: Patent  
 FAMILY ACC. NUM. COUNT: 2 German  
 PATENT INFORMATION:

| PATENT NO.             | KIND | DATE     | APPLICATION NO. | DATE     |
|------------------------|------|----------|-----------------|----------|
| DE 2305339             | A1   | 19740808 | DE 1973-2305339 | 19730203 |
| DE 2305339             | B2   | 19790823 |                 |          |
| DE 2305339             | C3   | 19800508 |                 |          |
| FI 58126               | B    | 19800829 | FI 1973-3989    | 19731227 |
| FI 58126               | C    | 19801210 |                 |          |
| AT 7400164             | A    | 19760215 | AT 1974-164     | 19740110 |
| AT 332873              | B    | 19761025 |                 |          |
| ES 422450              | A1   | 19760501 | ES 1974-422450  | 19740119 |
| CS 200169              | P    | 19800829 | CS 1974-443     | 19740123 |
| SU 563917              | D    | 19770630 | SU 1974-1990335 | 19740129 |
| NL 7401254             | A    | 19740806 | NL 1974-1254    | 19740130 |
| NL 173645              | B    | 19830916 |                 |          |
| NL 173645              | C    | 19840216 |                 |          |
| CH 605939              | A    | 19781013 | CH 1974-1363    | 19740131 |
| RO 79057               | P    | 19820625 | RO 1974-77478   | 19740131 |
| RO 84276               | P    | 19840523 | RO 1974-106042  | 19740131 |
| BE 810545              | A1   | 19740801 | BE 1974-140502  | 19740201 |
| FR 2215968             | A1   | 19740830 | FR 1974-3491    | 19740201 |
| JP 49102693            | A2   | 19740927 | JP 1974-13568   | 19740201 |
| JP 57048556            | B4   | 19821016 |                 |          |
| DD 108989              | C    | 19741012 | DD 1974-176324  | 19740201 |
| AU 7465129             | A1   | 19750807 | AU 1974-65129   | 19740201 |
| GB 1445824             | A    | 19760811 | GB 1974-4808    | 19740201 |
| HU 170909              | P    | 19770928 | HU 1974-TO951   | 19740201 |
| CA 1041502             | A1   | 19781031 | CA 1974-191585  | 19740201 |
| NO 139386              | C    | 19790228 | NO 1974-327     | 19740201 |
| NO 139386              | B    | 19781120 |                 |          |
| DK 140760              | B    | 19791112 | DK 1974-563     | 19740201 |
| DK 140760              | C    | 19800421 |                 |          |
| SE 411451              | B    | 19791227 | SE 1974-1393    | 19740201 |
| SE 411451              | C    | 19800417 |                 |          |
| PL 93127               | P    | 19770530 | PL 1974-168533  | 19740202 |
| ZA 7400695             | A    | 19751029 | ZA 1974-695     | 19740204 |
| US 3985891             | A    | 19761012 | US 1975-606886  | 19750822 |
| SU 634673              | D    | 19781125 | SU 1975-2170503 | 19750827 |
| PRIORITY APPLN. INFO.: |      |          | DE 1973-2305339 | 19730203 |
|                        |      |          | DE 1973-2361757 | 19731212 |
|                        |      |          | US 1974-439362  | 19740204 |

GI For diagram(s), see printed CA Issue.

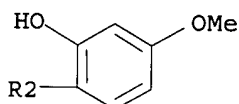
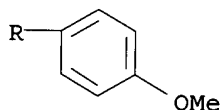
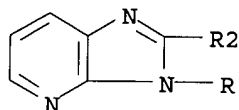
AB Imidazopyridines (100 compds.) including the pos. inotropic I (R = OMe, R1 = 4-OMe, 4-Cl, 4-Me, 4-SMe, 4-SOMe, 5-SMe; R = OEt, R1 = 4-OMe, 4-Me; R = OCH2CH2SOMe, R1 = 4-OMe, 4-SMe) and some 3-alkyl and pyridine ring-substituted compds. were prep'd. Thus, 2,3-pyridinediamine was cyclized with 2,4-(MeO)2C6H3CO to give 85% I (R = OMe, R1 = 4-OMe) which at 1 .times. 10- nl increased the contraction amplitude of isolated guinea rium by 57%.

IT 53930-82-8P

RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. of)

RN 53930-82-8 CAPLUS

CN Phenol, 5-methoxy-2-[3-(4-methoxyphenyl)-3H-imidazo[4,5-b]pyridin-2-yl]-  
 (9CI) (CA INDEX NAME)



L3 ANSWER 30 OF 30 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1961:22770 CAPLUS

DOCUMENT NUMBER: 55:22770

ORIGINAL REFERENCE NO.: 55:4507f-i,4508a-b

TITLE: Antispasmodic compounds

AUTHOR(S): Sabata, B. K.; Tripathy, P. B.; Rout, M. K.

CORPORATE SOURCE: Ravenshaw College, Cuttack

SOURCE: J. Proc. Inst. Chemists (India) (1960), 32, 147-50

DOCUMENT TYPE: Journal

LANGUAGE: Unavailable

GI For diagram(s), see printed CA Issue.

AB Compd. prepd. by the method of Pujari and R. (CA 50,295a) were:  
 3-(4-ethoxyphenyl)-2-thiohydantoin (I), m. 122-3.degree., yield 80%;  
 3-(2-ethoxyphenyl)-2-thiohydantoin, m. 132.degree., yield 78%;  
 3-(4-methoxyphenyl)-2-thiohydantoin, m. 208.degree., yield 75%;  
 3-(4-bromophenyl)-2-thiohydantoin, m. 238.degree., yield 75%;  
 3-(1-naphthyl)-2-thiohydantoin, m. 176.degree., yield 78%.  
 3-(4-Ethoxyphenyl)-5-(2-nitrobenzylidene)-2-thiohydantoin (II), prepd. in 70% yield by refluxing 2.5 hrs. a soln. of 0.6 g. 2-nitrobenzaldehyde, 1 g. I, and 1.3 g. fused NaOAc in 15 ml. glacial HOAc, m. 165.degree. (alc.). Compd. prepd. similarly were: 3-(2-ethoxyphenyl)-5-(2-nitrobenzylidene)-2-thiohydantoin, m. 123.degree., yield 72%; 3-(4-methoxyphenyl)-5-(2-nitrobenzylidene)-2-thiohydantoin, m. 205.degree., yield 70%; 3-(4-bromophenyl)-5-(2-nitrobenzylidene)-2-thiohydantoin, m. 191-2.degree., yield 70%; and 3-(1-naphthyl)-5-(2-nitrobenzylidene)-2-thiohydantoin, m. 203.degree., yield 65%. III (R = p-EtOC6H4, R' = H), m. 203.degree. (decompn.), was prepd. in 65% yield by refluxing 1.5 g. II in 20 ml. glacial HOAc with Zn dust until the mixt. was nearly colorless. Other III prepd. similarly were (R, R', m.p., and % yield given): o-EtOC6H4, H, 159.degree., 68; p-MeOC6H4, H, 250.degree., 60; p-BrC6H4, H, 162.degree., 60; and 1-C10H7, H, 194.degree., 65. III (R = p-EtOC6H4, R' = OH), m. 128-9.degree. (alc.), was prepd. in 60% yield by fusing 2 g. I and 1.3 g. anthranilic acid (IV) at 150-60.degree., adding 1.23 g. finely powd. anhyd. NaOAc during 1 hr., and heating 4-5 hrs. The cooled mass was treated with NaHCO3 soln. to remove unreacted IV and the residue dissolved in alkali. The filtrate, on acidification gave the product. III prepd. similarly were (R, R', m.p., and % yield given): o-MeOC6H4, OH, 141-2.degree., 65; p-MeOC6H4, OH, 143.degree., 58; p-BrC6H4, OH, 224.degree., 59; and 1-C10H7, OH, 108.degree., 60. Quinolono[2',3':4,5]thiazolidin-2-one, m. 247.degree., was prepd. in 68% yield by reducing a soln. of 5-(2-nitrobenzylidene)-2,4-thiazolidinedione [prepd. by condensing 2,4-thiazolidinedione (V) with 2-nitrobenzaldehyde]

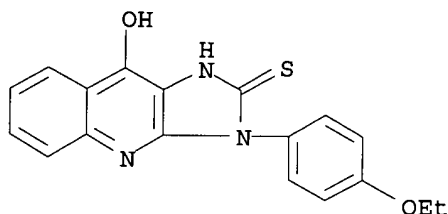
US6114358

with Zn dust. 4'-Hydroxyquinolino[2',3':4,5]thiazolidin-2-one, m. 129.degree., was prepd. in 60% yield by fusing V with 1.2 moles IV in the presence of fused NaOAc.

IT 113013-54-0, 2H-Imidazo[4,5-b]quinoline-2-thione, 3-[p-ethoxyphenyl]-1,3-dihydro-9-hydroxy- 131409-17-1, 2H-Imidazo[4,5-b]quinoline-2-thione, 3-(p-bromophenyl)-1,3-dihydro-9-hydroxy- (prepn. of)

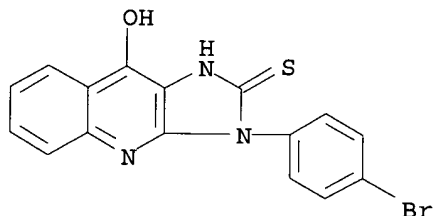
RN 113013-54-0 CAPLUS

CN 2H-Imidazo[4,5-b]quinoline-2-thione, 3-(p-ethoxyphenyl)-1,3-dihydro-9-hydroxy- (6CI) (CA INDEX NAME)



RN 131409-17-1 CAPLUS

CN 2H-Imidazo[4,5-b]quinoline-2-thione, 3-(p-bromophenyl)-1,3-dihydro-9-hydroxy- (6CI) (CA INDEX NAME)



US6114358

L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1991:535998 CAPLUS

DOCUMENT NUMBER: 115:135998

TITLE: Potent, orally active imidazo[4,5-b]pyridine-based  
angiotensin II receptor antagonists

AUTHOR(S): Mantlo, Nathan B.; Chakravarty, Prasun K.; Ondeyka,  
Debra L.; Siegl, Peter K. S.; Chang, Raymond S.;  
Lotti, Victor J.; Faust, Kristie A.; Schorn, Terry W.;  
Chen, Tsing Bau; et al.

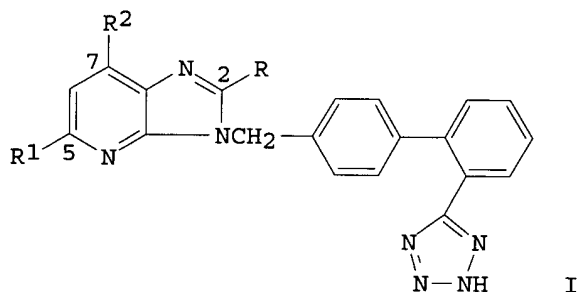
CORPORATE SOURCE: Explor. Chem., Merck Sharp and Dohme Res. Lab.,  
Rahway, NJ, 07065, USA

SOURCE: Journal of Medicinal Chemistry (1991), 34(9), 2919-22  
CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



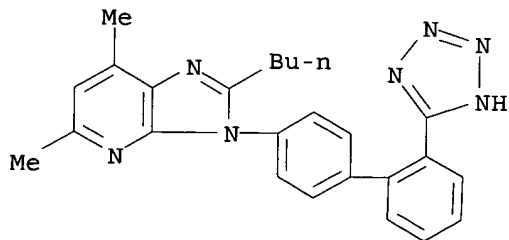
AB Several title Angiotensin II (AII) antagonists I (R = Et, Pr, Bu, R1 = R2 = H, Me; R1 = Me, R2 = H; R1 = H, R2 = Me) were prepd. Substituents at the 2, 5, and 7-positions of the imidazopyridine have a profound effect on the in vitro binding affinity to AII receptors (rabbit aorta membrane prepn.) and on the inhibition of the AII-induced pressor responses in conscious rats. The most active compd., I (R = Et, R1 = R2 = Me) is extremely potent in vitro (IC50 = 0.3 nM, rabbit aorta), and in vivo (ED50 = 0.048 mg/Kg i.v. and 0.026 mg/Kg p.o., conscious rat). This compd. is a specific AT1 antagonist, and substantially lowers the blood pressure of high renin hypertensive rats upon oral dosing (0.1 and 0.3 mg/Kg) with a duration of action exceeding 24 h.

IT 135145-94-7P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. and Angiotensin II antagonist activity of)

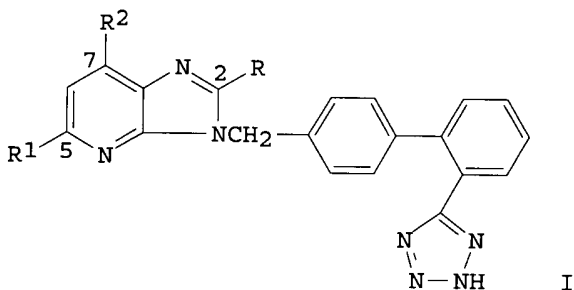
RN 135145-94-7 CAPLUS

CN 3H-Imidazo[4,5-b]pyridine, 2-butyl-5,7-dimethyl-3-[2'-(1H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]- (9CI) (CA INDEX NAME)



US6114358

L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2003 ACS  
ACCESSION NUMBER: 1991:535998 CAPLUS  
DOCUMENT NUMBER: 115:135998  
TITLE: Potent, orally active imidazo[4,5-b]pyridine-based  
angiotensin II receptor antagonists  
AUTHOR(S): Mantlo, Nathan B.; Chakravarty, Prasun K.; Ondeyka,  
Debra L.; Siegl, Peter K. S.; Chang, Raymond S.;  
Lotti, Victor J.; Faust, Kristie A.; Schorn, Terry W.;  
Chen, Tsing Bau; et al.  
CORPORATE SOURCE: Explor. Chem., Merck Sharp and Dohme Res. Lab.,  
Rahway, NJ, 07065, USA  
SOURCE: Journal of Medicinal Chemistry (1991), 34(9), 2919-22  
CODEN: JMCMAR; ISSN: 0022-2623  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
GI



AB Several title Angiotensin II (AII) antagonists I (R = Et, Pr, Bu, R1 = R2 = H, Me; R1 = Me, R2 = H; R1 = H, R2 = Me) were prepd. Substituents at the 2, 5, and 7-positions of the imidazopyridine have a profound effect on the in vitro binding affinity to AII receptors (rabbit aorta membrane prepn.) and on the inhibition of the AII-induced pressor responses in conscious rats. The most active compd., I (R = Et, R1 = R2 = Me) is extremely potent in vitro (IC50 = 0.3 nM, rabbit aorta), and in vivo (ED50 = 0.048 mg/Kg i.v. and 0.026 mg/Kg p.o., conscious rat). This compd. is a specific AT1 antagonist, and substantially lowers the blood pressure of high renin hypertensive rats upon oral dosing (0.1 and 0.3 mg/Kg) with a duration of action exceeding 24 h.

IT 135145-94-7P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. and Angiotensin II antagonist activity of)

RN 135145-94-7 CAPLUS

CN 3H-Imidazo[4,5-b]pyridine, 2-butyl-5,7-dimethyl-3-[2'-(1H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]- (9CI) (CA INDEX NAME)

